

=> fil reg

FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 MAY 2003 HIGHEST RN 509953-09-7

DICTIONARY FILE UPDATES: 2 MAY 2003 HIGHEST RN 509953-09-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

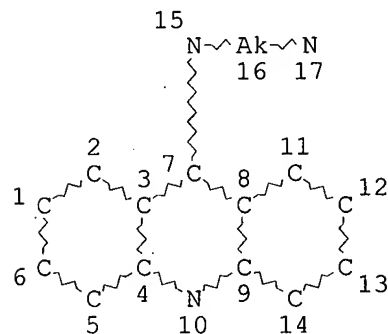
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que l10

L1 STR



NODE ATTRIBUTES:

CONNECT IS E2 RC AT 16

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1

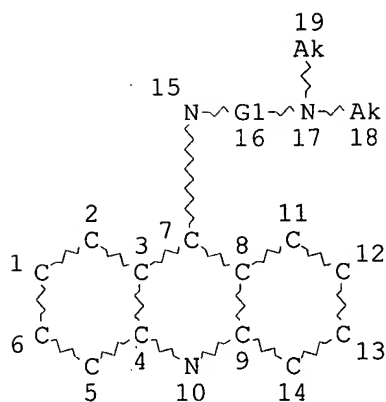
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L3 2001 SEA FILE=REGISTRY SSS FUL L1

L4 STR

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov



REP G1=(3-3) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L6 13 SEA FILE=REGISTRY SUB=L3 CSS FUL L4

L7 3 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND C20H25N3

L8 1794 SEA FILE=REGISTRY ABB=ON PLU=ON 2508.108.26/RID AND L3

L9 3 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L6 NOT L7

L10 6 SEA FILE=REGISTRY ABB=ON PLU=ON (L7 OR L9)

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L10 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 110166-25-1 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl-, hydrochloride (9CI) (CA INDEX NAME)

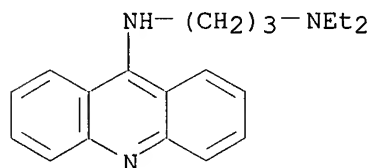
MF C20 H25 N3 . x Cl H

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

CRN (55468-73-0)



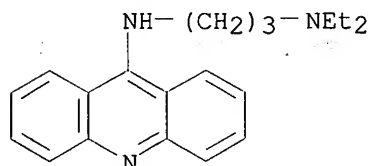
● x HCl

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 107:108837

L10 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2003 ACS
RN 55468-73-0 REGISTRY
CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 9-[(3-Diethylaminopropyl)amino]acridine
MF C20 H25 N3
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)

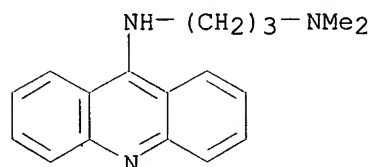


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1957 TO DATE)
6 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:232569
REFERENCE 2: 123:132316
REFERENCE 3: 107:108837
REFERENCE 4: 101:34661
REFERENCE 5: 83:22906
REFERENCE 6: 83:1516

L10 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2003 ACS
RN 24431-10-5 REGISTRY
CN 1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-, hydrochloride (8CI)
MF C18 H21 N3 . x Cl H
LC STN Files: CA, CAPLUS, TOXCENTER
CRN (13365-37-2)



● x HCl

2 REFERENCES IN FILE CA (1957 TO DATE)
2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 107:108837

REFERENCE 2: 71:12218

L10 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 23159-13-9 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl-, dihydrochloride (9CI)
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Acridine, 9-[[3-(diethylamino)propyl]amino]-, dihydrochloride (8CI)

OTHER NAMES:

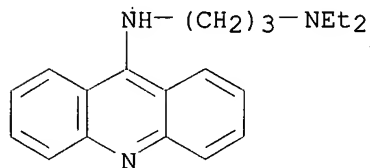
CN C 494

MF C20 H25 N3 . 2 Cl H

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

CRN (55468-73-0)



● 2 HCl

9 REFERENCES IN FILE CA (1957 TO DATE)

9 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 103:100552

REFERENCE 2: 94:169667

REFERENCE 3: 94:1490

REFERENCE 4: 85:72040

REFERENCE 5: 83:1340

REFERENCE 6: 71:61178

REFERENCE 7: 44:51206

REFERENCE 8: 44:51205

REFERENCE 9: 41:8178

L10 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 13365-37-2 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]- (8CI)

OTHER NAMES:

CN 9-(3'-(Dimethylaminopropylamino)acridine

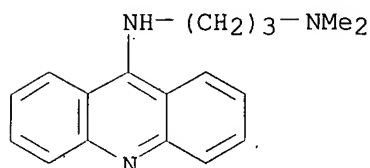
CN 9-[[3-(Dimethylamino)propyl]amino]acridine

DR 23002-08-6

MF C18 H21 N3

CI COM

LC STN Files: BEILSTEIN*, BIOSIS, CA, CANCERLIT, CAPLUS, CASREACT, MEDLINE,
RTECS*, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

33 REFERENCES IN FILE CA (1957 TO DATE)
33 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:189694
REFERENCE 2: 133:344161
REFERENCE 3: 133:26844
REFERENCE 4: 129:170225
REFERENCE 5: 127:325947
REFERENCE 6: 126:115559
REFERENCE 7: 121:124653
REFERENCE 8: 116:101822
REFERENCE 9: 114:2729
REFERENCE 10: 113:168105

L10 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 1092-03-1 REGISTRY.

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl-, dihydrochloride (9CI)
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-, dihydrochloride (7CI, 8CI)

OTHER NAMES:

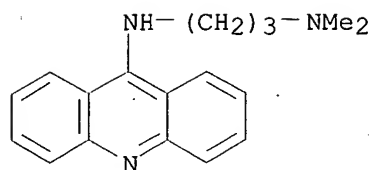
CN C 137

MF C18 H21 N3 . 2 Cl H

LC STN Files: CA, CAOLD, CAPLUS, RTECS*, TOXCENTER

(*File contains numerically searchable property data)

CRN (13365-37-2)



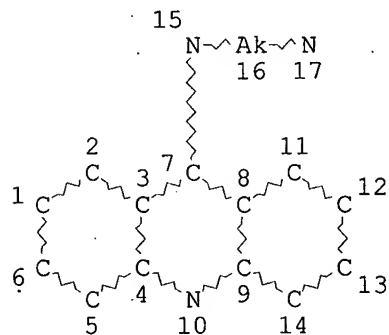
●2 HCl

7 REFERENCES IN FILE CA (1957 TO DATE)
 7 REFERENCES IN FILE CAPLUS (1957 TO DATE)
 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 110:33326
 REFERENCE 2: 93:62303
 REFERENCE 3: 87:34513
 REFERENCE 4: 84:69240
 REFERENCE 5: 84:53851
 REFERENCE 6: 62:2982
 REFERENCE 7: 62:2981

=> d sta que 116

L1 STR

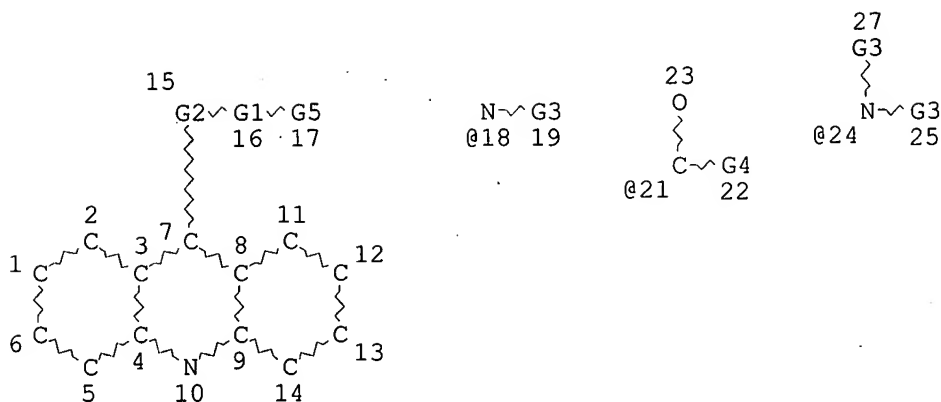


NODE ATTRIBUTES:
 CONNECT IS E2 RC AT 16
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 1
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L3 2001 SEA FILE=REGISTRY SSS FUL L1
 L8 1794 SEA FILE=REGISTRY ABB=ON PLU=ON 2508.108.26/RID AND L3
 L11 STR



```

REP G1=(3-3) CH2
VAR G2=N/18
VAR G3=AK/CY/21
VAR G4=AK/CY
VAR G5=NH2/18/24
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 2
CONNECT IS M1 RC AT 5
CONNECT IS M1 RC AT 6
CONNECT IS M1 RC AT 11
CONNECT IS M1 RC AT 12
CONNECT IS M1 RC AT 13
CONNECT IS M1 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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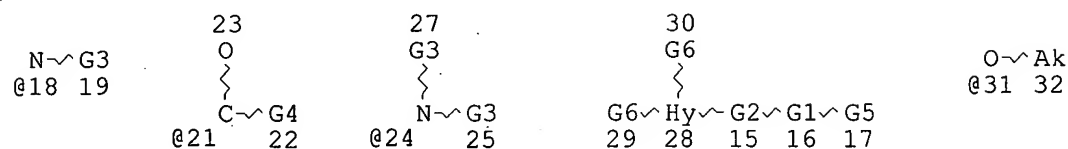
GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 25

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STEREO ATTRIBUTES: NONE
L13 248 SEA FILE=REGISTRY SUB=L8 CSS FUL L11
L14 STR

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REP G1=(3-3) CH2
VAR G2=N/18
VAR G3=AK/CY/21
VAR G4=AK/CY
VAR G5=NH2/18/24
VAR G6=H/X/OH/AK/31/NH2/18/24/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 28
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E13 C E1 N AT 28

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

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STEREO ATTRIBUTES: NONE

L16 125 SEA FILE=REGISTRY SUB=L13 CSS FUL L14

100.0% PROCESSED 248 ITERATIONS

125 ANSWERS

SEARCH TIME: 00.00.01

=> d his

(FILE 'HOME' ENTERED AT 08:40:40 ON 05 MAY 2003)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 08:40:55 ON 05 MAY 2003

L1 STR
L2 50 S L1
L3 2001 S L1 FUL
SAV L3 KWON082/A
L4 STR L1
L5 1 S L4 CSS SAM SUB=L3
L6 13 S L4 CSS FUL SUB=L3
SAV L6 KWON082A/A
L7 3 S L6 AND C20H25N3
L8 1794 S 2508.108.26/RID AND L3
L9 3 S L8 AND L6 NOT L7
L10 6 S L7,L9
L11 STR L1
L12 9 S L11 CSS SAM SUB=L8
L13 248 S L11 CSS FUL SUB=L8
SAV L13 KWON082B/A
L14 STR L11
L15 8 S L14 CSS SAM SUB=L13
L16 125 S L14 CSS FUL SUB=L13
SAV L16 KWON082C/A
L17 119 S L16 NOT L10

FILE 'HCAOLD' ENTERED AT 08:50:03 ON 05 MAY 2003

L18 1 S L10
L19 17 S L17
SEL AN
EDIT /AN /OREF

FILE 'HCAPLUS' ENTERED AT 08:51:22 ON 05 MAY 2003

L20 33 S E1-E17
SEL DN 2 4 6 8 10 13 15 17 19 21 23 25 27 29 33
L21 18 S L20 NOT E18-E32
SEL DN 11 18
L22 16 S L21 NOT E33-E34
L23 56 S L10
L24 0 S L22 AND L23
L25 97 S L17
L26 143 S L23,L25
E E VILLAR H/AU
E VILLAR H/AU
L27 111 S E3,E5,E12,E14
E LABORDE E/AU
L28 48 S E3-E7
E LA BORDE E/AU
E US20020169183/PN
L29 1 S E3
E US2001-274535/AP, PRN
L30 1 S E5


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L31      1 S L26 AND L27-L30
          E TELIK/PA,CS
L32      35 S E3-E9
L33      1 S L26 AND L32
L34      1 S L31,L33
          E FAS/CT
          E E4+ALL
L35      5492 S E7,E6
          E E21+ALL
L36      3287 S E5,E4
          E E15+ALL
L37      49327 S E5,E4
          E E3+ALL
L38      55816 S E3-E7
L39      1 S L26 AND L35-L38
          E FAS/CW
L40      1 S E3 AND L26
          E HYPERPLAS/CT
L41      737 S E4-E22
          E E4+ALL
L42      1166 S E2+NT
          E AUTOIMMUN/CT
          E E47+ALL
L43      1631 S E2
          E AUTOIMMUN/CT
          E E8+ALL
L44      24179 S E3,E2+NT
L45      1 S L26 AND L41-L44
L46      1 S L34,L39,L40,L45
L47      2 S L26 AND ?HYPERPLAS?
L48      1 S L26 AND ?AUTOIMMUN?
L49      0 S L26 AND ?AUTO IMMUN?
L50      3 S L26 AND ?IMMUN?
L51      1 S L26 AND FAS
L52      0 S L26 AND CD95
L53      1 S L26 AND ?APOPTO?
L54      4 S L46-L48,L50,L51,L53
L55      67 S L26 AND (?NEOPLAS? OR ?TUMOR? OR ?TUMOUR? OR ?MALIGNAN? OR ?C
          E AUTOIMMUNE LYMPHOPROLIFERAT/CT
          E LYMPHOPROLIFERAT/CT
          E E6+ALL
L56      16195 S E5+NT
          E AUTOIMMUNE THYROID/CT
          E E4+ALL
L57      1153 S E2
          E HYPEREOSINOPHIL/CT
          E E4+ALL
          E E2+ALL
L58      783 S E3+NT
          E THYROID DISEASE/CT
          E E4+ALL
          E E2+ALL
L59      18741 S E4,E5,E3+NT
L60      27099 S E33+NT
L61      25405 S AUTOIMMUN?(L) (LYMPH? OR THYROID?) OR ?EOSINOPHIL?
L62      0 S L26 AND L56-L61
L63      3 S L54 AND L55
L64      4 S L54,L63

```

FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 09:14:17 ON 05 MAY 2003

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FILE COVERS 1907 - 5 May 2003 VOL 138 ISS 19
 FILE LAST UPDATED: 4 May 2003 (20030504/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L64 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2003 ACS
 AN 2002:716087 HCAPLUS
 DN 137:232569
 TI Preparation of acridinylpropanediamines as stimulators of Fas-mediated apoptosis
 IN Villar, Hugo O.; Laborde, Edgardo
 PA Telik, Inc., USA
 SO PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM A61K031-473
 ICS A61P037-06
 CC 27-18 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072096	A1	20020919	WO 2002-US7031	20020307 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169183	A1	20021114	US 2002-82801	20020222 <--
PRAI US 2001-274535P	P	20010308 <--		
OS MARPAT 137:232569				
AB R2R3N(CH2)3NR4R5 [R2 = (un)substituted 9-acridinyl; R3-R5 = H, alkyl, alkanoyl, aryl, etc.] were prep'd. Thus, 9-chloroacridine was aminated by H2N(CH2)3NEt2 to give R2NH(CH2)3NEt2 (I; R2 = 9-acridinyl). Data for biol. activity of I were given.				
ST acridinylpropanediamine prep'n Fas mediated apoptosis stimulator; autoimmune disease acridinylpropanediamine prep'n treatment; hyperplasia acridinylpropanediamine prep'n treatment				

- IT **Apoptosis**
Human
(prepn. of acridinylpropanediaminess as stimulators of **Fas**-mediated **apoptosis**)
- IT **Fas antigen**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of acridinylpropanediaminess as stimulators of **Fas**-mediated **apoptosis**)
- IT **Autoimmune disease**
Hyperplasia
(treatment; prepn. of acridinylpropanediaminess as stimulators of **Fas**-mediated **apoptosis**)
- IT **55468-73-0P**, 9-[(3-Diethylaminopropyl)amino]acridine
459124-12-0P, 9-[(3-Acetylaminopropyl)(benzoyl)amino]acridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acridinylpropanediaminess as stimulators of **Fas**-mediated **apoptosis**)
- IT **104-78-9**, N,N-Diethyl-1,3-propanediamine **1207-69-8**, 9-Chloroacridine
172422-05-8, 9-[(3-Aminopropyl)amino]acridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of acridinylpropanediaminess as stimulators of **Fas**-mediated **apoptosis**)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

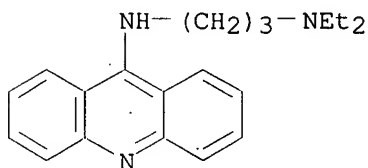
RE

- (1) Chotkowska, E; ARCH IMMUNOL THER EXP 1972, V20(2), P289 HCAPLUS
- (2) Piestrzeniewicz, M; ZEITSCHRIFT FUER NATURFORSCHUNG, C: BIOSCIENCES 1998, V53(5/6), P359 HCAPLUS
- (3) Radzikowski, C; ARCH IMMUNOL THER EXP 1969, V17(1), P86 HCAPLUS
- (4) Radzikowski, C; INT CONGR CHEMOTHER, PROC, 5TH 1967, V2(1), P263 HCAPLUS
- (5) Univ Iowa Res Found; WO 0076982 A 2000 HCAPLUS
- (6) Wysocka-Skrzela, B; POL J CHEM 1981, V55(7-8), P1735 HCAPLUS

- IT **55468-73-0P**, 9-[(3-Diethylaminopropyl)amino]acridine
459124-12-0P, 9-[(3-Acetylaminopropyl)(benzoyl)amino]acridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acridinylpropanediaminess as stimulators of **Fas**-mediated **apoptosis**)

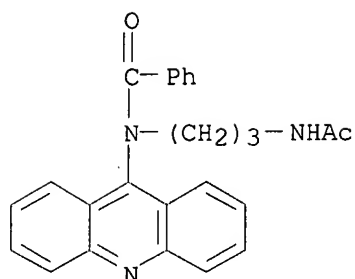
RN 55468-73-0 HCAPLUS

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl- (9CI) (CA INDEX NAME)

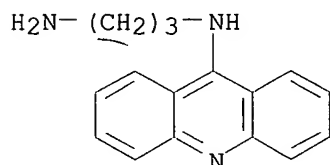


RN 459124-12-0 HCAPLUS

CN Benzamide, N-[3-(acetylaminopropyl)]-N-9-acridinyl- (9CI) (CA INDEX NAME)



IT 172422-05-8, 9-[(3-Aminopropyl)amino]acridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of acridinylpropanediamines as stimulators of Fas
 -mediated apoptosis)
 RN 172422-05-8 HCAPLUS
 CN 1,3-Propanediamine, N-9-acridinyl- (9CI) (CA INDEX NAME).



L64 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS
 AN 1995:694359 HCAPLUS
 DN 123:132316
 TI Immunomodulating effect of acridine tautomers on eukaryotic cells
 AU Petri, Ildiko B.; Berek, I.; Galy, Anne-Marie; Barbe, J.; Berek, Livia; Molnar, J.
 CS Medical School, Albert Szent-Gyorgyi University, Szeged, H-6720, Hung.
 SO Acta Microbiologica et Immunologica Hungarica (1995), 42(2), 203-8
 CODEN: AMIHEF; ISSN: 1217-8950
 PB Akademiai Kiado
 DT Journal
 LA English
 CC 1-7 (Pharmacology)
 AB The **immunomodulating** effect of some new amino- and imino-acridine derivs., were investigated on antibody dependent cellular **cytotoxicity** (ADCC) and induced-blast transformation of lymphocytes. In different concns. (2.0.times.10⁻⁶ M, 4.0.times.10⁻⁸ M and 2.0.times.10⁻⁵ M) the drugs produced a suppression of PHA- and ConA-induced cell **proliferative** response except in the case of 2b, 2d and 2g amino-acridines. The suppressive effects were dose dependent and exhibited a higher inhibitory level in the case of imino-acridines. Some drugs at low concn. exerted a little enhancing effect on ADCC reaction.
 ST **Immunomodulator** acridine tautomer eukaryotic cell
 IT Cell **proliferation**
 Cytotoxic agents
 Eukaryote
 Immunomodulators
 Lymphocyte
 (immunomodulating effect of acridine tautomers on eukaryotic cells)
 IT 260-94-6D, Acridine, tautomers 13365-36-1 55468-73-0

69530-83-2 74054-21-0 74054-22-1 80129-88-0 94129-62-1,
 9-Ethylaminoacridine 110166-23-9 110166-24-0 111782-81-1
 111782-82-2 111782-83-3 163589-29-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(immunomodulating effect of acridine tautomers on eukaryotic cells)

IT 90-45-9, 9-Aminoacridine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(immunomodulating effect of acridine tautomers on eukaryotic cells)

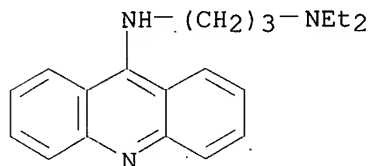
IT 55468-73-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(immunomodulating effect of acridine tautomers on eukaryotic cells)

RN 55468-73-0 HCAPLUS

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl- (9CI) (CA INDEX NAME)



L64 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS

AN 1990:138923 HCAPLUS

DN 112:138923

TI Acridine derivatives, and human immunodeficiency virus (HIV) reverse transcriptase inhibitors and antitumor agents containing them

IN Takeuchi, Tomio; Umezawa, Kazuo; Hirose, Sonoko; Muraoka, Yasuhiko; Taketsuru, Hirofumi; Nogami, Takashi

PA Microbiochemical Research Foundation, Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C07D219-12

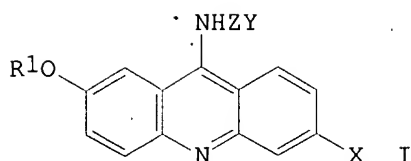
ICS A61K031-47; A61K031-495; A61K031-535

CC 27-18 (Heterocyclic Compounds (One Hetero Atom))

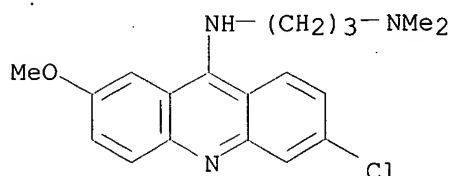
Section cross-reference(s): 1

FAN.CNT 1

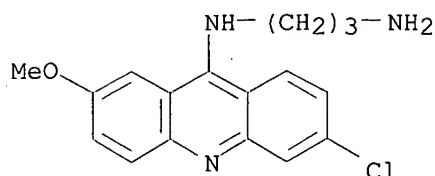
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PI	JP 01221364	A2	19890904	JP 1988-2544	19880111
PRAI	JP 1988-2544		19880111		
OS	MARPAT 112:138923				
GI					



- AB The title pharmaceutical contain I [R1 = alkyl; X = halo; Y = basic group; Z = alkylene, Z1NR2Z2(NR3Z3)n; R2, R3 = H, (amino-substituted)alkyl; Z1, Z2, Z3 = alkylene; n = 0, 1] as active ingredients and I [R1 = alkyl; X = halo; Y = NH2, morpholine, NHCH:NH, NHC(:NH)NH2; Z = alkylene, Z1NR2Z2; when Z = alkylene, Y is other than NH2] are prepd. Treatment of 2-methoxy-6,9-dichloroacridine with [H2N(CH2)3]2NMe gave I [R1 = Me; X = Cl; YZ = H2N(CH2)3NMe(CH2)3]. The latter showed IC50 of 6.8 .mu.g/mL and 0.32 .mu.g/mL against HIV reverse transcriptase and mouse P388 leukemia cells, resp.
- ST acridine HIV reverse transcriptase inhibitor; antitumor agents
acridine prepn
- IT **Neoplasm** inhibitors
(acridine derivs.)
- IT **Immunodeficiency**
(acquired **immune** deficiency syndrome, treatment of, by
acridine derivs.)
- IT 86-38-4, 2-Methoxy-6,9-dichloroacridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of, with bis(aminopropyl)methylamine)
- IT 105-83-9, Bis(3-aminopropyl)methylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of, with methoxydichloroacridine)
- IT 16694-46-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with aminoethylacridine)
- IT 9068-38-6
RL: USES (Uses)
(inhibitors, acridine derivs. as)
- IT 83-89-6P 7657-92-3P 14446-60-7P 35365-89-0P **55935-12-1P**
77420-96-3P **85363-11-7P** 85363-12-8P 121714-46-3P
121714-47-4P 121714-48-5P 121714-49-6P 121714-50-9P 121714-51-0P
121714-52-1P 121714-53-2P 121739-14-8P 125835-42-9P 125835-43-0P
125835-44-1P 125864-64-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as human **immunodeficiency** virus reverse
transcriptase inhibitor and **antitumor** agent)
- IT **55935-12-1P 85363-11-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as human **immunodeficiency** virus reverse
transcriptase inhibitor and **antitumor** agent)
- RN 55935-12-1 HCAPLUS
- CN 1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-dimethyl-
(9CI) (CA INDEX NAME)

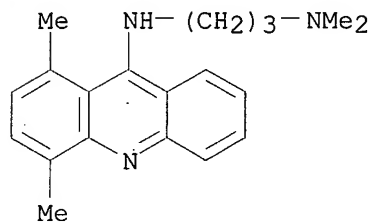


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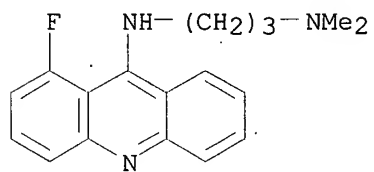
L64 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS
 AN 1972:121776 HCAPLUS
 DN 76:121776
 TI Search for **antitumor** compounds. X. Biologic studies.
Antitumor properties of 20 new substituted 9-aminoacridine derivatives. V
 AU Hrabowska, Maria; Ledochowski, Andrzej; Horowska, Barbara; Konopa, Jerzy; Onoszko, Krystyna
 CS Dep. Drug Technol. Biochem., Tech. Sch., Gdansk, Pol.
 SO Archivum Immunologiae et Therapiae Experimentalis (1971), 19(6), 879-90
 CODEN: AITEAT; ISSN: 0004-069X
 DT Journal
 LA English
 CC 1 (Pharmacodynamics)
 AB Of 20 substituted 9-aminoacridines (I) tested, 4-methyl-9-[[3-(dimethylamino)propyl]amino]-1-nitroacridine-2HCl [21193-46-4], 4-methyl-1-nitro-9-[(5-piperidinopentyl)amino]acridine-2HCl [34433-60-8] 4-methyl-9-[[2-(dimethylamino)ethyl]amino]-1-nitroacridine-2HCl [34433-61-9], and 4-methyl-1-nitro-9-(propylamino)acridine-2HCl [34433-62-0] had the highest in vitro antitumor activity. Some of the compds. inhibited **sarcoma 180** in mice, but their **antitumor** effects could not be subsequently confirmed. Histol. examns. following treatment with 12 of the compds. indicated reticuloendothelial cell **hyperplasia** in the liver, spleen, and/or lymph nodes, feathery degeneration in the liver, in some cases liver damage, and karyorrhectic necrosis of the central portions of the **tumors**. Neither the size nor the electron attractivity of the substituent in position 1 of the acridine nucleus significantly affected **antitumor** properties.
 ST aminoacridine **antitumor** effect; **tumor** aminoacridine
 IT **Neoplasm** inhibitors
 (aminoacridine derivs. as)
 IT Molecular structure-biological activity relationship
 (**neoplasm** inhibiting, of aminoacridine derivs.)
 IT 10166-37-7 10166-38-8 10252-13-8 21193-46-4 22670-65-1
 29232-83-5 34433-60-8 34433-61-9 34433-62-0 35547-74-1
 35547-75-2 35547-76-3 35547-77-4
 35547-78-5 35547-79-6 35547-80-9 35547-82-1
 35604-83-2 35604-84-3 35853-27-1
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (**neoplasm** inhibiting activity of)
 IT 22670-65-1 35547-74-1 35547-75-2
 35547-76-3 35547-77-4 35547-78-5
 35547-79-6 35604-83-2 35604-84-3
 35853-27-1
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (**neoplasm** inhibiting activity of)

RN 22670-65-1 HCAPLUS

CN 1,3-Propanediamine, N'-(1,4-dimethyl-9-acridinyl)-N,N-dimethyl-,
dihydrochloride (9CI) (CA INDEX NAME)

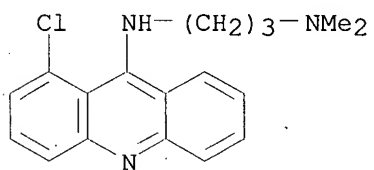
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RN 35547-74-1 HCAPLUS

CN 1,3-Propanediamine, N'-(1-fluoro-9-acridinyl)-N,N-dimethyl-,
dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

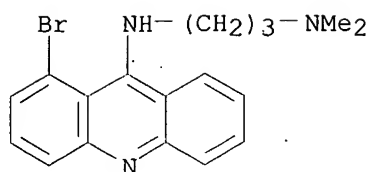
RN 35547-75-2 HCAPLUS

CN 1,3-Propanediamine, N'-(1-chloro-9-acridinyl)-N,N-dimethyl-,
dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

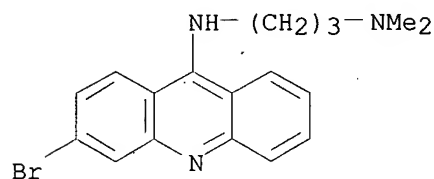
RN 35547-76-3 HCAPLUS

CN 1,3-Propanediamine, N'-(1-bromo-9-acridinyl)-N,N-dimethyl-,
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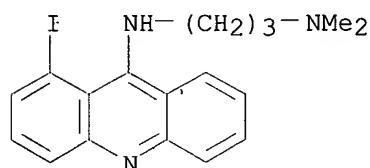
●2 HCl

RN 35547-77-4 HCAPLUS
 CN 1,3-Propanediamine, N'-(3-bromo-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



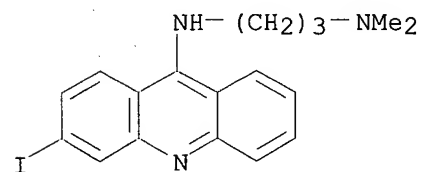
●2 HCl

RN 35547-78-5 HCAPLUS
 CN 1,3-Propanediamine, N'-(1-iodo-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



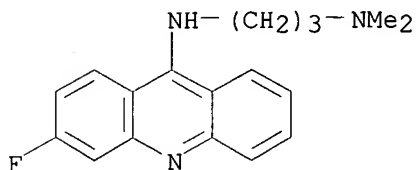
●2 HCl

RN 35547-79-6 HCAPLUS
 CN 1,3-Propanediamine, N'-(3-iodo-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



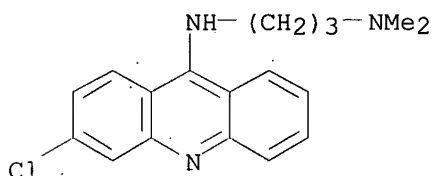
●2 HCl

RN 35604-83-2 HCAPLUS
 CN 1,3-Propanediamine, N'-(3-fluoro-9-acridinyl)-N,N-dimethyl-,
 dihydrochloride (9CI) (CA INDEX NAME)



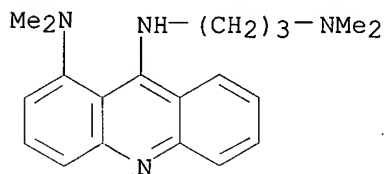
● 2 HCl

RN 35604-84-3 HCAPLUS
 CN 1,3-Propanediamine, N'-(3-chloro-9-acridinyl)-N,N-dimethyl-,
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 35853-27-1 HCAPLUS
 CN 1,9-Acridinediamine, N9-[3-(dimethylamino)propyl]-N1,N1-dimethyl-,
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

=> d his

(FILE 'HOME' ENTERED AT 08:40:40 ON 05 MAY 2003)
 SET COST OFF

FILE 'REGISTRY' ENTERED AT 08:40:55 ON 05 MAY 2003

L1 STR
 L2 50 S L1
 L3 2001 S L1 FUL

SAV L3 KWON082/A
L4 STR L1
L5 1 S L4 CSS SAM SUB=L3
L6 13 S L4 CSS FUL SUB=L3
SAV L6 KWON082A/A
L7 3 S L6 AND C20H25N3
L8 1794 S 2508.108.26/RID AND L3
L9 3 S L8 AND L6 NOT L7
L10 6 S L7,L9
L11 STR L1
L12 9 S L11 CSS SAM SUB=L8
L13 248 S L11 CSS FUL SUB=L8
SAV L13 KWON082B/A
L14 STR L11
L15 8 S L14 CSS SAM SUB=L13
L16 125 S L14 CSS FUL SUB=L13
SAV L16 KWON082C/A
L17 119 S L16 NOT L10

FILE 'HCAOLD' ENTERED AT 08:50:03 ON 05 MAY 2003

L18 1 S L10
L19 17 S L17
SEL AN
EDIT /AN /OREF

FILE 'HCAPLUS' ENTERED AT 08:51:22 ON 05 MAY 2003

L20 33 S E1-E17
SEL DN 2 4 6 8 10 13 15 17 19 21 23 25 27 29 33
L21 18 S L20 NOT E18-E32
SEL DN 11 18
L22 16 S L21 NOT E33-E34
L23 56 S L10
L24 0 S L22 AND L23
L25 97 S L17
L26 143 S L23,L25
E E VILLAR H/AU
E VILLAR H/AU
L27 111 S E3,E5,E12,E14
E LABORDE E/AU
L28 48 S E3-E7
E LA BORDE E/AU
E US20020169183/PN
L29 1 S E3
E US2001-274535/AP, PRN
L30 1 S E5
L31 1 S L26 AND L27-L30
E TELIK/PA,CS
L32 35 S E3-E9
L33 1 S L26 AND L32
L34 1 S L31,L33
E FAS/CT
E E4+ALL
L35 5492 S E7,E6
E E21+ALL
L36 3287 S E5,E4
E E15+ALL
L37 49327 S E5,E4
E E3+ALL
L38 55816 S E3-E7
L39 1 S L26 AND L35-L38
E FAS/CW
L40 1 S E3 AND L26
E HYPERPLAS/CT

L41 737 S E4-E22
 E E4+ALL
 L42 1166 S E2+NT
 E AUTOIMMUN/CT
 E E47+ALL
 L43 1631 S E2
 E AUTOIMMUN/CT
 E E8+ALL
 L44 24179 S E3,E2+NT
 L45 1 S L26 AND L41-L44
 L46 1 S L34,L39,L40,L45
 L47 2 S L26 AND ?HYPERPLAS?
 L48 1 S L26 AND ?AUTOIMMUN?
 L49 0 S L26 AND ?AUTO IMMUN?
 L50 3 S L26 AND ?IMMUN?
 L51 1 S L26 AND FAS
 L52 0 S L26 AND CD95
 L53 1 S L26 AND ?APOPTO?
 L54 4 S L46-L48,L50,L51,L53
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 E LYMPHOPROLIFERAT/CT
 E E6+ALL
 L56 16195 S E5+NT
 E AUTOIMMUNE THYROID/CT
 E E4+ALL
 L57 1153 S E2
 E HYPEREOSINOPHIL/CT
 E E4+ALL
 E E2+ALL
 L58 783 S E3+NT
 E THYROID DISEASE/CT
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 L61 25405 S AUTOIMMUN?(L) (LYMPH? OR THYROID?) OR ?EOSINOPHIL?
 L62 0 S L26 AND L56-L61
 L63 3 S L54 AND L55
 L64 4 S L54,L63

FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003

FILE 'HCAPLUS' ENTERED AT 09:14:17 ON 05 MAY 2003

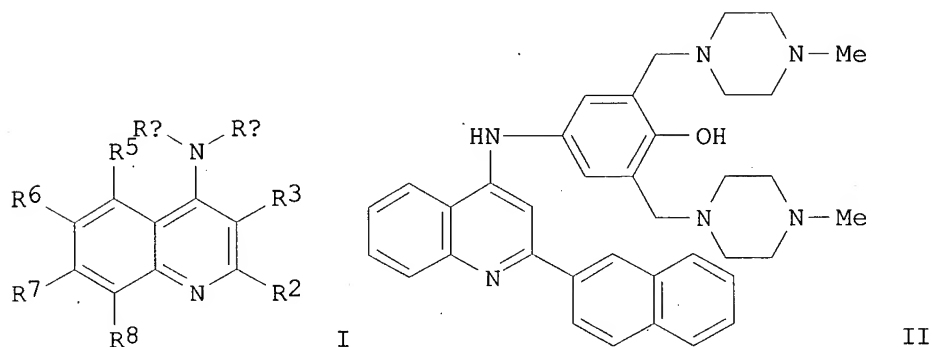
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 L67 1 S RADZIKOWSKI ?/AU AND 1969/PY AND (17 AND 86)/SO
 L68 1 S RADZIKOWSKI ?/AU AND 1967/PY AND (2 AND 263)/SO
 L69 1 S WYSOCKA SKRZELA ?/AU AND 1981/PY AND (55 AND 1735)/SO
 L70 1 S WO20000076982/PN
 L71 6 S L65-L70 AND L20-L64

=> d all hitstr tot 171

L71 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2003 ACS
 AN 2000:900623 HCAPLUS
 DN 134:56585
 TI Antagonism of immunostimulatory CpG-oligonucleotides by 4-aminoquinolines
 and other weak bases
 IN MacFarlane, Donald E.; Strekowski, Lucjan; Manzel, Lori; Ismail, Fyaz;
 Barlin, Gordon B.
 PA University of Iowa Research Foundation, USA
 SO PCT Int. Appl., 138 pp.

CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D215-44
 ICS C07D219-12; A61K031-47; A61P037-06
 CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 15
 FAN.CNT 1

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PI	WO 2000076982	A1	20001221	WO 2000-US16723	20000616 <--
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	CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				
	ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,				
	LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,				
	SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA,				
	ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6479504	B1	20021112	US 2000-595875	20000616
PRAI	US 1999-139544P	P	19990616		
OS	MARPAT 134:56585				
GI					



AB The present invention concerns compns. and methods for inhibiting stimulation of the immune system. The compds. and methods comprise compds. that are analogs and derivs. of chloroquine, such as 4-aminoquinolines, and other weak bases. other weak bases. More particularly, a method of inhibiting immunostimulation in a subject comprises administering an effective amt. of a compn. contg. substituted 4-quinolinamines [I; RA = H, lower alkyl; RB = (un)substituted alkyl, alkenyl, or alkynyl secondary or tertiary amine; R2 = (un)substituted Ph, naphthyl, anthracyl, phenanthryl, or styryl; R3 = R5 = R8 = H; R6, R7 = H, halo] and pharmaceutically acceptable salts thereof to said subject, the 4-quinolinamine compn. comprising a compd. having the structural formula A. They can be used in preventative and therapeutic treatments of autoimmune diseases and phenomena, transplant rejection such as host-vs.-graft disease and sepsis. A detailed structure-activity relationship (SAR) anal. of quinoline antagonists of immunostimulatory CpG-ODNs was undertaken. The synthesis work together with SAR anal. of the synthesized quinolines culminated in the finding of an extremely active agent (II).

ST structure activity relationship antagonist immune stimulation aminoquinoline; aminoquinoline prepn antagonist CpG oligonucleotide

immunostimulation; autoimmune disease treatment aminoquinoline; transplant rejection treatment aminoquinoline; host graft disease treatment aminoquinoline; sepsis treatment aminoquinoline

IT Oligonucleotides

Phosphorothioate oligonucleotides

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(CpG-contg.; prepn. of aminoquinolines as antagonists for

immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

IT Transplant and Transplantation

(host-vs.-graft reaction; prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

IT Structure-activity relationship

(immunodepressant; antagonist activity of aminoquinolines against immunostimulation by CpG-oligonucleotides)

IT Autoimmune disease

Immunosuppressants

Sepsis

(prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

IT Transplant and Transplantation

(rejection of; prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

IT 54-05-7, Chloroquine 83-89-6 85-10-9 118-42-3 130-95-0, Quinine
 2519-38-2 3548-09-2 3562-70-7 3870-43-7 5342-59-6 5418-60-0
 5428-61-5 5431-04-9 5431-73-2 5437-27-4 5442-70-6 6286-25-5
 6633-20-1 7597-07-1 15462-38-1 33601-73-9 34374-22-6 46980-74-9
 47353-27-5 47579-52-2 47632-17-7 47653-53-2 64131-49-3
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(prepn. of aminoquinolines as antagonists for immunostimulatory
 CpG-oligonucleotides for presentation and therapeutic treatment of
 autoimmune diseases and transplant rejection such as host-vs.-graft
 disease and sepsis)

IT 145363-45-7P 313823-42-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of aminoquinolines as antagonists for immunostimulatory
 CpG-oligonucleotides for presentation and therapeutic treatment of
 autoimmune diseases and transplant rejection such as host-vs.-graft
 disease and sepsis)

IT 241817-26-5P 241817-27-6P 241817-28-7P 241817-34-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of aminoquinolines as antagonists for immunostimulatory
 CpG-oligonucleotides for presentation and therapeutic treatment of
 autoimmune diseases and transplant rejection such as host-vs.-graft
 disease and sepsis)

IT 129224-83-5P 131407-77-7P 181776-11-4P 183477-55-6P 183477-56-7P
 194919-92-1P 241817-15-2P 241817-25-4P 241817-29-8P 241817-30-1P
 241817-31-2P 241817-38-9P 255824-70-5P 255824-71-6P 255824-72-7P
 313821-23-7P 313821-28-2P 313821-33-9P 313821-66-8P 313821-71-5P
 313821-76-0P 313822-10-5P 313822-15-0P 313822-20-7P 313822-26-3P
 313822-97-8P 313823-32-4P 313823-37-9P 313823-47-1P 313823-80-2P
 313823-84-6P 313823-97-1P 313824-02-1P 313824-07-6P 313824-15-6P
 313824-20-3P 313824-77-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoquinolines as antagonists for immunostimulatory
 CpG-oligonucleotides for presentation and therapeutic treatment of
 autoimmune diseases and transplant rejection such as host-vs.-graft
 disease and sepsis)

IT 50-00-0, Formaldehyde, reactions 88-17-5, 2-Trifluoromethylaniline
 105-83-9, N,N-Bis(3-aminopropyl)methylamine 108-30-5, Succinic
 anhydride, reactions 109-01-3, N-Methylpiperazine 109-55-7,
 N,N-Dimethyl-1,3-propanediamine 109-76-2, 1,3-Propanediamine 110-15-6,
 Succinic acid, reactions 123-00-2, 3-Morpholinopropylamine 123-30-8,
 4-Hydroxyaniline 156-87-6, 3-Aminopropanol 403-42-9,
 4'-Fluoroacetophenone 445-27-2, 2'-Fluoroacetophenone 3731-51-9,
 2-(Aminomethyl)pyridine 7209-38-3, 1,4-Bis(3-aminopropyl)piperazine
 103914-51-8 105563-31-3 132608-39-0, Lithium 2-
 (dimethylamino)ethylamide 156094-81-4 313821-86-2 313821-91-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of aminoquinolines as antagonists for immunostimulatory
 CpG-oligonucleotides for presentation and therapeutic treatment of
 autoimmune diseases and transplant rejection such as host-vs.-graft
 disease and sepsis)

IT 103914-43-8P 110802-10-3P 133671-30-4P 147217-99-0P 147218-06-2P
 147218-08-4P 158117-37-4P 194919-88-5P 194919-89-6P 194919-90-9P
 194919-91-0P 313821-81-7P 313821-96-4P 313822-00-3P 313822-05-8P
 313822-47-8P 313822-60-5P 313822-65-0P 313822-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Board Of Regents Of The University Of Nebraska; WO 9307126 A 1993 HCAPLUS
- (2) Eisai Co Ltd; EP 0607439 A 1994 HCAPLUS
- (3) F Hoffmann-La Roche Ag; WO 9535287 A 1995 HCAPLUS
- (4) Han-Yen, C; US 5886185 A 1999 HCAPLUS
- (5) Macfarlane, D; THE JOURNAL OF IMMUNOLOGY 1998, V160, P1122 HCAPLUS
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- (7) Strekowski, L; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 1999, V9(13), P1819 HCAPLUS
- (8) Strekowski, L; JOURNAL OF MEDICINAL CHEMISTRY 1996, V39, P3980 HCAPLUS

L71 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2003 ACS

AN 1998:436155 HCAPLUS

DN 129:170225

TI Inhibition of RNA synthesis in vitro by acridines. Relation between structure and activity

AU **Piesterzeniewicz, Mariola K.**; Wilmanska, Dorota; Studzian, Kazimierz; Szemraj, Janusz; Czyz, Malgorzata; Denny, William A.; Gniazdowski, Marek

CS Department General Chemistry, Institute Physiology Biochemistry, Medical University Lodz, Lodz, 90131, Pol.

SO Zeitschrift fuer Naturforschung, C: Biosciences (1998), 53(5/6), 359-368

CODEN: ZNCBDA; ISSN: 0341-0382

PB Verlag der Zeitschrift fuer Naturforschung

DT Journal

LA English

CC 1-6 (Pharmacology)

Section cross-reference(s): 6

AB The effects of acridine derivs. (proflavine and 2,7-dialkyl derivs., diacridines and triacridines, 9-aminoacridine carboxamides, and 9-anilinoacridine, amsacrine and its congeners) on overall RNA synthesis in vitro, on synthesis of initiating oligonucleotides and the binding of the enzyme to DNA were studied. The primary mechanism of action is related to inhibition of the enzyme binding to DNA. The acridines (intercalating or non-intercalating and bis-intercalating ligands) assayed here differ in the properties of their complexes with DNA. Correlation is generally obsd. between inhibition of RNA synthesis in vitro and **cytotoxicity** in cell cultures for di- and triacridines and 9-aminoacridine carboxamide derivs. No relationship was found between the effect on RNA polymerase system and biol. effects for amsacrine and its derivs. in contrast to the other series of acridines studied here. The aniline ring seems to decrease the inhibitory potency of a ligand. The discrepancy between the biol. effect and RNA synthesis inhibition may be due to a different mechanism of **cytotoxicity** action of amsacrine which is a potent topoisomerase II poison.

ST RNA synthesis inhibition acridine **anticancer**

IT Structure-activity relationship

(DNA-binding; inhibition of RNA synthesis in vitro by acridines and relation between structure and activity)

IT Structure-activity relationship

(enzyme-inhibiting; inhibition of RNA synthesis in vitro by acridines and relation between structure and activity)

IT **Antitumor agents**

RNA formation

(inhibition of RNA synthesis in vitro by acridines and relation between structure and activity)

IT 92-26-2, 2,7-Dimethylproflavine 92-62-6, Proflavine 260-94-6D,

Acridine, derivs. 13365-37-2, 9-[3-(Dimethylamino)propylamino]acridine 33244-11-0, 3,6-Acridinediamine, 2,7-bis(1,1-dimethylethyl)-51264-14-3, Amsacrine 51264-17-6, Methanesulfonamide, N-[4-(9-acridinylamino)-2-methoxyphenyl]- 83951-93-3, 2,7-Diethylproflavine 83951-94-4, 2,7-Diisopropylproflavine 88476-68-0 89459-25-6 89459-30-3 89459-43-8 91482-26-7 91549-70-1 98502-80-8 98502-84-2 98502-89-7 98512-16-4 100113-16-4 100113-19-7 100113-21-1 100113-24-4 106626-64-6

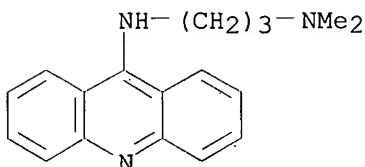
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of RNA synthesis in vitro by acridines, relation between structure and activity)

IT 13365-37-2, 9-[3-(Dimethylamino)propylamino]acridine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of RNA synthesis in vitro by acridines, relation between structure and activity)

RN 13365-37-2 HCAPLUS

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl- (9CI) (CA INDEX NAME)



L71 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2003 ACS

AN 1983:522253 HCAPLUS

DN 99:122253

TI Research on **tumor** inhibiting compounds. Part LXXIII. Reduction of 1-nitro-9-[3-(dimethylamino)propylamino]acridine by sodium borohydride

AU Wysocka-Skrzela, Barbara; Ledochowski, Andrzej

CS Inst. Org. Food Chem. Technol., Polytech. Univ., Gdansk, 80952, Pol.

SO Polish Journal of Chemistry (1981), 55(7-8), 1735-6

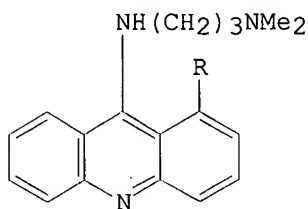
CODEN: PJCHDQ; ISSN: 0137-5083

DT Journal

LA English

CC 27-18 (Heterocyclic Compounds (One Hetero Atom))

GI



I

AB Redn. of Ledakrin (I.2HCl, R = NO2) (II) with NaBH4 gave 18% I (R = NO), 28% I (R = NHOH) (III), and 24% I (R = NH2). Compd. III was identical with the compd. formed during incubation of II with **tumor** cells.

ST Ledakrin sodium borohydride redn; nitroacridine dimethylaminopropylamine sodium borohydride redn

IT **19395-54-1P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and acetylation of)

IT 30904-48-4P 87061-34-5P 87061-35-6P **87061-36-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

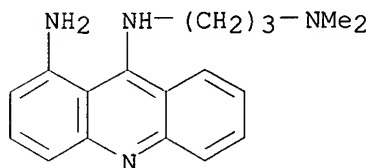
IT 16940-66-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (redn. by, of Ledakrin)

IT 6514-85-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (redn. of, with sodium borohydride)

IT **19395-54-1P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and acetylation of)

RN 19395-54-1 HCAPLUS

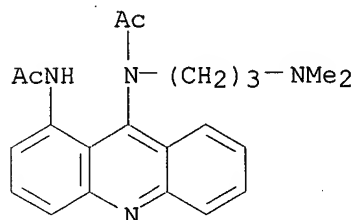
CN 1,9-Acridinediamine, N9-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



IT **87061-36-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 87061-36-7 HCAPLUS

CN Acetamide, N-[1-(acetylamino)-9-acridinyl]-N-[3-(dimethylamino)propyl]-
 (9CI) (CA INDEX NAME)



L71 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2003 ACS

AN 1972:457010 HCAPLUS

DN 77:57010

TI **Cytotoxic** properties of nitro derivatives of 9-aminoacridine in
 cultures of *Euglena gracilis*

AU **Chotkowska, Ewa**; Konopa, Jerzy

CS Dep. Drug. Technol. Biochem., Tech. Univ., Gdansk, Pol.

SO Archivum Immunologiae et Therapiae Experimentalis (1972),
 20(2), 289-94
 CODEN: AITEAT; ISSN: 0004-069X

DT Journal

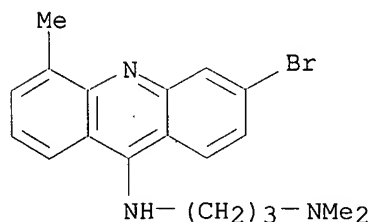
LA English

CC 3-2 (Biochemical Interactions)

AB Among 30 9-aminoacridine derivs. tested against *E. gracilis*,
 9-[[2-(diethylamino)ethyl]amino]-1-nitroacridine (I) [24414-70-8] and

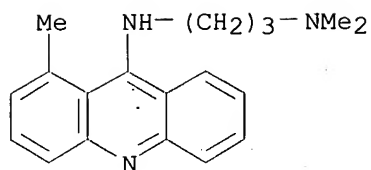
9-[[3-(dimethylamino)propyl]amino]-1-nitroacridine (II) [4533-39-5] were the most active, causing 50% inhibition of growth of the protozoa at 1-10 and 10 .mu.g/ml, resp. 9-[[2-(Dimethylamino)ethyl]amino]-1-nitroacridine [15539-41-0], 9-[[3-(dimethylamino)propyl]amino]-4-methyl-1-nitroacridine [24400-01-9], 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methylacridine [35411-41-7], and 3-bromo-9-[[3-(dimethylamino)propyl]amino]-6-nitroacridine [24402-94-6] caused 50% inhibition at .leq. 25 .mu.g/ml. Six other derivs. had the same effect at .leq. 50 .mu.g/ml. With the exception of the 2 bromo derivs., the most active compds. had the nitro group in position one. All other 2-, 3-, and 4-nitro derivs. failed to inhibit Euglena growth at <200 .mu.g/ml. Inhibitory activity against Euglena correlated well with previously reported biol. activity of the compds. in tissue cultures.

- ST aminoacridine Euglena inhibition; **antitumor** test Euglena;
acridine aminonitro Euglena
- IT Protozoacides
(aminoacridine derivs. as)
- IT Euglena gracilis
(aminoacridine derivs. inhibition of)
- IT Molecular structure-biological activity relationship
(protozoacidal, of aminoacridine derivs.)
- IT 6691-68-5 22044-87-7 37551-11-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(protozoacidal activity of)
- IT 4292-63-1 6237-22-5 6237-29-2 15539-41-0 15539-43-2 15539-45-4
20064-09-9 22157-47-7 22157-48-8 24399-89-1 24399-91-5
24399-97-1 24399-98-2 24400-01-9 24400-02-0 24402-94-6
24414-70-8 31638-11-6 32987-50-1 **35411-41-7** 37551-28-3
37551-32-9 37551-36-3 37754-14-6 37837-07-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(protozoacidal activity of)
- IT 4533-39-5
RL: PRP (Properties)
(protozoacidal activity of)
- IT **35411-41-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(protozoacidal activity of)
- RN 35411-41-7 HCAPLUS
- CN 1,3-Propanediamine, N'-(3-bromo-5-methyl-9-acridinyl)-N,N-dimethyl- (9CI)
(CA INDEX NAME)



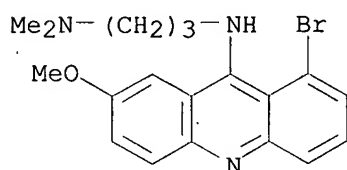
- L71 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2003 ACS
- AN 1969:95102 HCAPLUS
- DN 70:95102
- TI Search for **antitumor** compounds. V. Biologic studies.
Antitumor properties of 41 new acridine derivatives
- AU **Radzikowski, Czeslaw**; Ledochowski, Andrzej; Hrabowska, Maria;
Horowska, Barbara; Stefanska, Barbara; Kdnopa, Jerzy; Jereczek-Morawska,

Elzbieta
CS Polska Akad. Nauk, Gdansk, Pol.
SO Archivum Immunologiae et Therapiae Experimentalis (1969),
17(1), 86-98
CODEN: AITEAT; ISSN: 0004-069X
DT Journal
LA English
CC 15 (Pharmacodynamics)
AB Methoxy- and methyl-9-aminoacridine derivs. were examd. for their
antitumor activity in 3 screening tests: **sarcoma** 180 in
mice, Miyamura test, and inhibition of germination of *Lepidium sativum*
(R., et al., 1967). **Sarcoma** 180 was inhibited most often by
compds. which: contained dimethyl-aminoethylamine,
dimethylaminopropylamine, or dimethyl-aminobutylamine as substituents at
C-9; contained a Me or methoxy group in position 4. In the Miyamura test,
activity was observed with those compds. which: contained
dimethyl-aminoethylamine as substituent at C-9; contained a methoxy or Me
group in position 3. Germination of *L. sativum* was inhibited by compds.
which: contained as substituent at C-9 a N,N-dimethylhydrazine,
dimethylaminopropylamine, or dimethyl-aminoethylamine; this effect was
observed most often when the methoxy or Me group was in position 4. Among
the 9-aminoacridine derivs., 6 compds. showed activity in 2 tests
including 9-(dimethylaminopropylamino)-4-methoxyacridine and
9-(di-methylaminopropylamino)-2-methylacridine, and 19 compds. in only 1
of the tests.
ST **antitumor** aminoacridine derivs; aminoacridine derivs
antitumor; **sarcoma** control acridine derivs; acridine
derivs **sarcoma** control
IT **Neoplasm** inhibitors
(acridine derivs.)
IT Molecular structure-biological activity relationships
(**neoplasm** inhibiting, of acridine derivs.)
IT 1442-91-7 23159-15-1 23262-27-3 23541-67-5 23541-68-6 23541-69-7
23541-70-0 23541-71-1 **23551-95-3** 23551-96-4
23551-97-5 23551-98-6 23551-99-7 23552-00-3 **23552-01-4**
23552-02-5 23552-03-6 **23552-04-7** 23552-05-8
23552-06-9 23552-07-0 23552-08-1 23552-09-2 23552-10-5
23552-11-6 23552-12-7 23552-13-8 23552-14-9 **23552-15-0**
23552-16-1 23552-17-2 23552-18-3 23552-19-4 **23552-20-7**
23552-21-8 23552-22-9 23552-23-0 23552-24-1 23552-25-2
23552-26-3 **23552-28-5** **23552-29-6** 23595-24-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(**neoplasm** inhibition by)
IT **23541-70-0** **23551-95-3** **23552-01-4**
23552-04-7 **23552-06-9** **23552-15-0**
23552-20-7 **23552-28-5** **23552-29-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(**neoplasm** inhibition by)
RN 23541-70-0 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



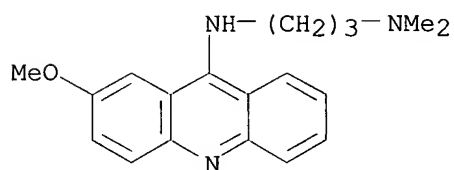
●2 HCl

RN 23551-95-3 HCAPLUS
CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)



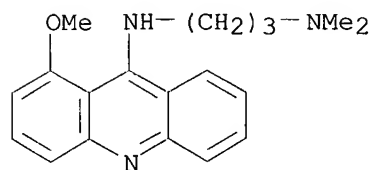
●2 HCl

RN 23552-01-4 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)



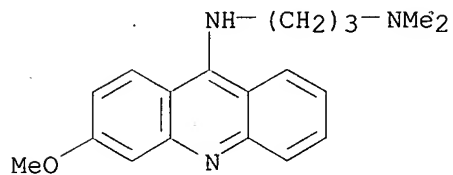
●2 HCl

RN 23552-04-7 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)



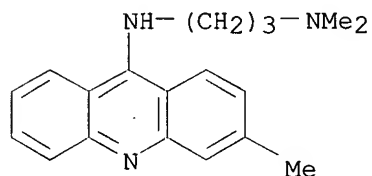
●2 HCl

RN 23552-06-9 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



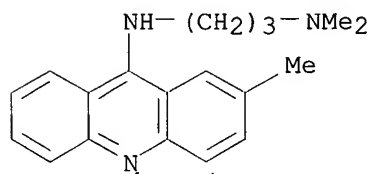
● 2 HCl

RN 23552-15-0 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methyl-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



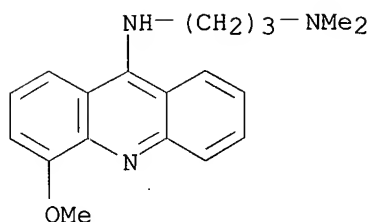
● 2 HCl

RN 23552-20-7 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



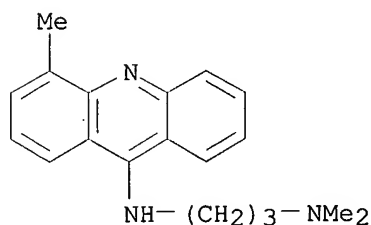
● 2 HCl

RN 23552-28-5 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



●2 HCl

RN 23552-29-6 HCAPLUS
 CN 1,3-Propanediamine, N,N-dimethyl-N'-(4-methyl-9-acridinyl)-,
 dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L71 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2003 ACS
 AN 1969:2023 HCAPLUS
 DN 70:2023
 TI Cytostatic and **cytotoxic** effect of 1-nitro-9-aminoacridine
 derivatives
 AU Radzikowski, C.; Ledochowski, A.
 CS Polska Akad. Nauk, Gdansk, Pol.
 SO Int. Congr. Chemother., Proc., 5th (1967), Volume 2,
 Issue 1, 263-6. Editor(s): Spitzzy, K. H. Publisher: Verlag
 Wiener Medizinisch. Akad., Vienna, Austria.
 CODEN: 20JJA4
 DT Conference
 LA English
 CC 15 (Pharmacodynamics)
 AB Sixty-nine derivs. of 9-aminoacridine were studied as potential
antitumor agents. The nitro substituted compds. were biol. more
 active than related methoxy, methyl, or dimethylamino derivs., when tested
 on four **tumor** screens.
 ST aminoacridines **cancer**; **cancer** aminoacridines;
 nitroaminoacridines **cancer**
 IT **Neoplasm** inhibitors
 (acridine derivs. as)
 IT Molecular structure-biological activity relationships
 (**neoplasm** inhibiting, of acridine derivs.)
 IT Acridine, 9-[[2-(dimethylamino)ethyl]amino]-3-methoxy-
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)

(neoplasm inhibition by)

IT 3323-61-3 3324-09-2 3505-65-5 4292-62-0 4292-63-1
 4292-64-2 4533-37-3 4533-38-4 4533-39-5 4552-23-2
 4595-85-1 6237-22-5 6237-24-7 6237-29-2 6237-32-7 6237-34-9
 6514-65-4 6514-86-9 6691-68-5 10496-95-4 13240-58-9 15016-02-1
 15016-07-6 15463-22-6 15463-23-7 15463-25-9 15539-39-6
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 22157-48-8 22584-79-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by)

IT 22089-32-3
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

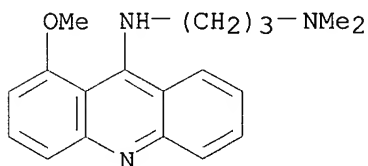
(neoplasm inhibition by, mol. structure in relation to)

IT 3323-61-3 3324-09-2 4533-38-4
 20566-27-2 22044-88-8 22044-89-9
 22044-90-2 22089-44-7 22089-45-8
 22089-47-0 22089-48-1

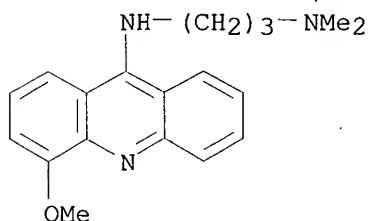
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(neoplasm inhibition by)

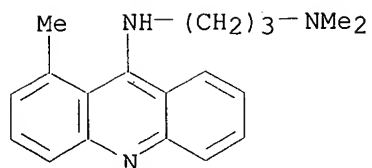
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 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy- (7CI, 8CI) (CA INDEX NAME)



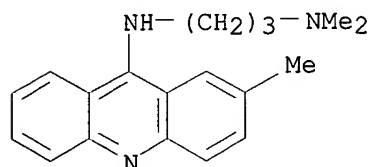
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 CN 1,3-Propanediamine, N'-(4-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



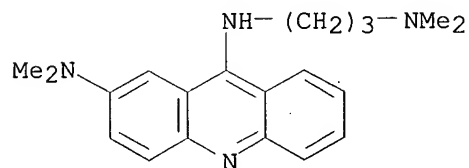
RN 4533-38-4 HCAPLUS
 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl- (7CI, 8CI) (CA INDEX NAME)



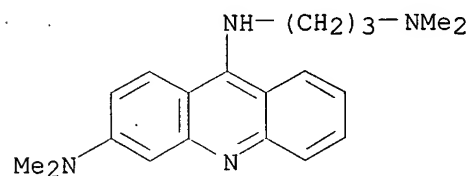
RN 20566-27-2 HCAPLUS
 CN 1,3-Propanediamine, N,N-dimethyl-N'-(2-methyl-9-acridinyl)- (9CI) (CA
 INDEX NAME)



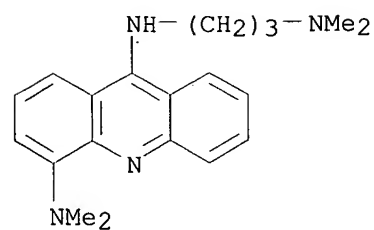
RN 22044-88-8 HCAPLUS
 CN Acridine, 2-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]- (8CI) (CA
 INDEX NAME)



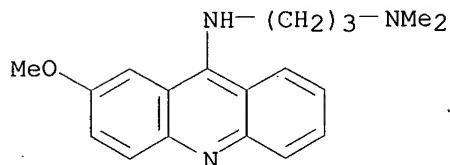
RN 22044-89-9 HCAPLUS
 CN Acridine, 3-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]- (8CI) (CA
 INDEX NAME)



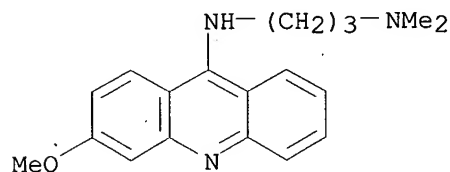
RN 22044-90-2 HCAPLUS
 CN Acridine, 4-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]- (8CI) (CA
 INDEX NAME)



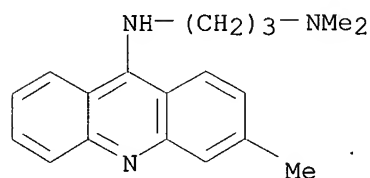
RN 22089-44-7 HCAPLUS
 CN 1,3-Propanediamine, N'-(2-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



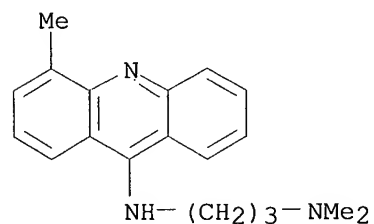
RN 22089-45-8 HCAPLUS
 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy- (8CI) (CA INDEX NAME)



RN 22089-47-0 HCAPLUS
 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methyl- (8CI) (CA INDEX NAME)



RN 22089-48-1 HCAPLUS
 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methyl- (8CI) (CA INDEX NAME)

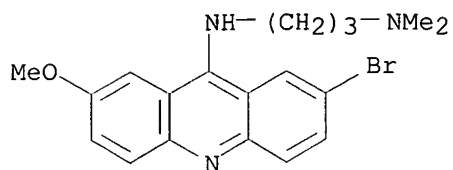


=> d all hitstr tot

L73 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2003 ACS
 AN 1966:429389 HCAPLUS
 DN 65:29389
 OREF 65:5440a-d

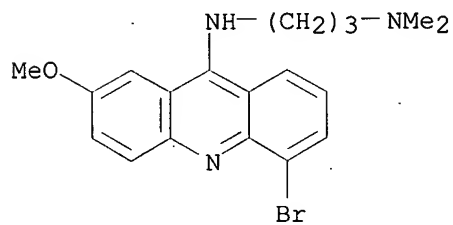
- TI Tumor-inhibiting compounds. XXXI. Synthesis of 2-chloro-and 2-bromo-9-(4-dimethylaminobutylamino)acridine and of some methoxybromo derivatives of 9-(3-dimethylaminopropylamino)acridine
- AU Bogucka, Maria; Ledochowski, Zygmunt
- CS Politech., Gdansk, Pol.
- SO Roczniki Chemii (1966), 40(4), 677-82
CODEN: ROCHAC; ISSN: 0035-7677
- DT Journal
- LA Polish
- CC 37 (Heterocyclic Compounds (One Hetero Atom))
- GI For diagram(s), see printed CA Issue.
- AB cf. preceding abstr. N-(4-Chlorophenyl)anthranilic acid (52.8 g.) was heated for 5.5 hrs. with 306.6 g. POCl₃ at 85-130.degree.. The excess of POCl₃ was distd. and the residue poured into ice-concd. NH₃ mixt. and extd. with CHCl₃. From the ext., 26.3 g. 2,9-dichloroacridine, m. 145-6.degree., was obtained in 50% yield. 2-Bromo-9-chloroacridine was prepd. similarly and with the same yield. To 1.6 g. 3-bromo-5-methoxy-9-chloro-acridine was added 2.5 g. phenol and 0.75 ml. N,N-dimethylaminopropylamine. The mixt. was heated on a steam bath 1.5 hrs. and cooled, 20 ml. ether added, and the whole ext. with 50 ml. 2.5N aq. KOH. The ether ext. was dried with MgSO₄, then an ether soln. of HCl added. The ppt. formed was recrystd. thrice from abs. EtOH to yield 2 g. product. Similarly were obtained the following I (R, X, n, % yield, and m.p. (decompn.) given): 5-OMe, 3-Br, 3, 61, 226-7.degree.; 6-OMe, 3-Br, 3, 87, 237-8.degree.; 8-Me, 3-Br, 3, 70, 194-5.degree.; 7-OMe, 2-Br, 3, 74, 248-9.degree.; 7-OMe, 4-Br, 3, 48, 237-8.degree.; H, 2-Cl, 4, 80, 253-4.degree.; H, 2-Br, 4, 82, 252-3.degree.. The antitumor properties of these compds. were tested on Sa 180 in mice, in vitro in the Miyamura test, and on germs of *Lepidium sativum*. All the tumor-active compds. have the halogen atom in the position 1 or 3.
- IT Neoplasms
Neoplasms
(inhibitors of)
- IT Acridine, 3-bromo-9-L[3-(dimethylamino)propyl]amino]-6-methoxy-, dihydrochloride
- IT 611-64-3, Acridine, 9-methyl-
(derivs.)
- IT 1019-14-3, Acridine, 2,9-dichloro- 6534-56-1, Acridine, 3-bromo-9-chloro-5-methoxy- 6534-57-2, Acridine, 3-bromo-9-chloro-6-methoxy- 6534-58-3, Acridine, 6-bromo-9-chloro-1-methoxy- 6534-59-4, Acridine, 2-bromo-9-chloro-7-methoxy- 6534-60-7, Acridine, 5-bromo-9-chloro-2-methoxy- 6534-61-8, Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-6-methoxy-, dihydrochloride 6534-62-9; Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-, dihydrochloride 6534-84-5, Acridine, 2-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 6534-85-6, Acridine, 5-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride 6534-86-7, Acridine, 2-chloro-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 6534-87-8, Acridine, 2-bromo-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 6534-95-8, Acridine, 2-chloro-9-[[4-(dimethylamino)butyl]amino]- 6534-96-9, Acridine, 2-bromo-9-[[4-(dimethylamino)butyl]amino]- 6546-58-3, Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride 6832-64-0, Acridine, 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methoxy-, dihydrochloride (prepn. of)
- IT 6534-84-5, Acridine, 2-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 6534-85-6, Acridine, 5-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride 6546-58-3, Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride 6832-64-0, Acridine, 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methoxy-, dihydrochloride (prepn. of)

RN 6534-84-5 HCAPLUS

CN Acridine, 2-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-,
dihydrochloride (7CI, 8CI) (CA INDEX NAME)

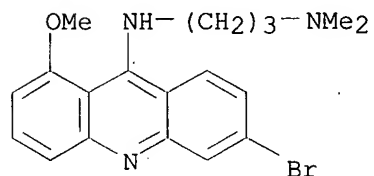
● 2 HCl

RN 6534-85-6 HCAPLUS

CN Acridine, 5-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-,
dihydrochloride (7CI, 8CI) (CA INDEX NAME)

● 2 HCl

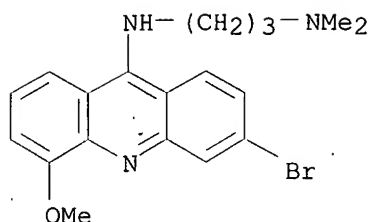
RN 6546-58-3 HCAPLUS

CN Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-1-methoxy-,
dihydrochloride (7CI, 8CI) (CA INDEX NAME)

● 2 HCl

RN 6832-64-0 HCAPLUS

CN Acridine, 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methoxy-,
dihydrochloride (7CI, 8CI) (CA INDEX NAME)



● 2 HCl

L73 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1965:488781 HCAPLUS

DN 63:88781

OREF 63:16302h,16303a-e

TI Tumor-inhibiting compounds. XXV. Synthesis of some N9-derivatives of 2-, 3-, and 4-dimethylamino-9-aminoacridines

AU Ledochowski, Andrzej; Kozinska, Barbara

CS Politech., Gdansk, Pol.

SO Roczniki Chem. (1965), 39(3), 357-63

DT Journal

LA Polish

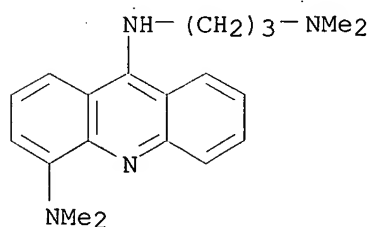
CC 37 (Heterocyclic Compounds (One Hetero Atom))

GI For diagram(s), see printed CA Issue.

AB cf. CA 63, 4256b. Ullmann condensation of dimethylamino-2-chlorobenzoic acids with PhNH₂ or 2-chlorobenzoic acid with the corresponding dimethylaminoaniline led to N-(dimethylaminophenyl) anthranilic acids (I), which when cyclized by heating with POCl₃ afforded 2-, 3-, and 4-(dimethylamino)-9-chloroacridines (II, R = Cl, R₁ = NMe₂) (III). Condensation of III with diamines gave the title compds. All of them were inactive in Myjamura test for antitumor activity on Ehrlich ascites cancer cells and for anti-mitotic action on germs of *Lepidium sativum*. Thus, 10 g. 2,4-Cl(H₂N)C₆H₃COOH (IV) in 50 ml. 20% Na₂CO₃ treated at 20.degree. with 6 ml. Me₂SO₄, stirred 0.5 hr., and heated 1 hr. on a water bath gave 1.1 g. 2,4-Cl(MeNH)C₆H₃COOH, m. 176-7.degree., and 1.5 g. 2,4-Cl(Me₂N)C₆H₃COOH (V), m. 211-12.degree.. V was also prepd. in 30% yield from 5 g. IV, 7.2 ml. MeI, and 8.1 g. KOH in 15 ml. MeOH, when refluxed 3 hrs. with subsequent addn. of 1.8 ml. MeI and 2 g. KOH during 1-hr. intervals. A mixt. of 0.5 g. V, 0.5 g. PhNH₂, 0.4 g. K₂CO₃, and catalytic amt. of Cu(OAc)₂ in 5 ml. iso-AmOH refluxed 1 hr., gave 0.3 g. I (R = NMe₂, R₁ = H), (VI), m. 171-2.degree. (alc.). A mixt. of 10 g. o-ClC₆H₄COOH, 9 g. freshly distd. o-Me₂NC₆H₄NH₂, 9 g. anhyd. KCO₃, 0.01 g. freshly-pptd. Cu, and 70 ml. cyclohexanol heated 5 hrs. at 160.degree. afforded 12.3 g. I, (R = H, R₁ = 2-NMe₂) (VII), m. 198-200.degree. (C₆H₆). Similarly prepd. were the following I (R, R₁, m.p., and % yield given): H, 4-NMe₂, 212-14.degree., 35; H, 3-NMe₂, 154.degree., 40. VII (10 g.) in 70 ml. POCl₃ heated 3 hrs. at 130-40.degree., cooled, and poured onto crushed ice with ammonia gave 7.5 g. III (R₁ = 4-NMe₂) (VIII), m. 116-18.degree. (cyclohexane). The following III were prepd. (R₁, m.p., and % yield given): 1-NMe₂, 157-8.degree., 75; 3-NMe₂ (IX), 118-19.degree., 30. Similarly, VI heated 0.5 hr. yielded 60% IX. VIII (1.8 g.) and 10 g. PhOH was heated 0.5 hr. on a water bath, cooled, treated with 1.04 ml. Me₂N(CH₂)₃NH₂, and heated again for 1.5 hrs. to give 2.8 g. II. 3HCl[R = NH(CH₂)₃-NMe₂, R₁ = 4-NMe₂], m. 150.degree. (decompn.). Similarly the following II were prepd. (R, R₁, salt, m.p., and % yield given): NH(CH₂)₃NMe, 2-NMe₂, 3HCl, 225-6.degree., 70; NH(CH₂)₃NMe₂, 3-NMe₂, 3HCl, 255-6.degree., 80; NH(CH₂)₄NMe₂, 2-NMe₂, 3HCl.-H₂O, 207-9.degree., 71; NH(CH₂)₄NMe₂, 3-NMe₂, 3HCl, 220-1.degree., 82; NH(CH₂)₄NMe₂, 4-NMe₂,

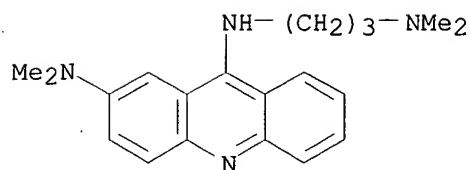
3HCl.0.5H₂O, 185-7.degree., 60; NHCH-Me(CH₂)₃NMe₂, 2-NMe₂, 3C₆H₃N₃O₇, 160-2.degree., 70; NHCHMe-(CH₂)₃NMe₂, 3-NMe₂, 3HCl, 197-9.degree., 80; NHCHMe(CH₂)₃-NMe₂, 4-NMe₂, 3HCl, 168-9.degree., 72.

- IT Benzoic acid, 2-anillno-4-(dilmethylamino)-
 IT 3975-58-4, 3-Azabicyclo[3.2.1]octane, 3-amino-1,8,8-trimethyl-
 3975-59-5, Acridine, 9-chloro-2-(dimethylamino)- 3975-60-8, Acridine,
 9-chloro-3-(dimethylamino)- 3975-61-9, Acridine, 9-chloro-4-
 (dimethylamino)- 3975-62-0, Benzoic acid, 2-chloro-4-(methylamino)-
 3975-63-1, Benzoic acid, 2-chloro-4-(dimethylamino)- 3975-65-3,
 Anthranilic acid, N-[o-(dimethylamino)phenyl]- 3975-66-4, Anthranilic
 acid, N-[p-(dimethylamino)phenyl]- 3975-67-5, Anthranilic acid,
 N-[m-(dimethylamino)phenyl]- 3975-68-6, Acridine,
 4-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride
 3975-69-7, Acridine, 2-(dimethylamino)-9-[[3-
 (dimethylamino)propyl]amino]-, trihydrochloride 3975-70-0, Acridine,
 9-[[4-(diethylamino)-1-methylbutyl]amino]-3-(dimethylamino)-,
 trihydrochloride 4036-24-2, Acridine, 3-(dimethylamino)-9-[[3-
 (dimethylamino)propyl]amino]-, trihydrochloride 4036-25-3, Acridine,
 2-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-, trihydrochloride
 4289-47-8, Acridine, 4-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-,
 trihydrochloride 4490-62-4, Acridine, 9-[[4-(diethylamino)-1-
 methylbutyl]amino]-4-(dimethylamino)-, trihydrochloride 4595-85-1,
 Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-(dimethylamino)-
 4595-89-5, Acridine, 3-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-,
 trihydrochloride 4595-90-8, Acridine, 9-[[4-(diethylamino)-1-
 methylbutyl]amino]-2-(dimethylamino)-, tripicrate
 (prepn. of)
 IT 3975-68-6, Acridine, 4-(dimethylamino)-9-[[3-
 (dimethylamino)propyl]amino]-, trihydrochloride 3975-69-7,
 Acridine, 2-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-,
 trihydrochloride 4036-24-2, Acridine, 3-(dimethylamino)-9-[[3-
 (dimethylamino)propyl]amino]-, trihydrochloride
 (prepn. of)
 RN 3975-68-6 HCAPLUS
 CN Acridine, 4-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-,
 trihydrochloride (7CI, 8CI) (CA INDEX NAME)



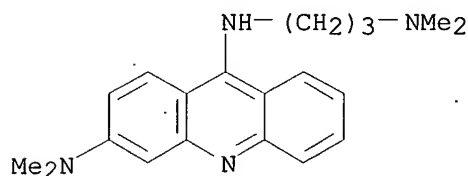
● 3 HCl

- RN 3975-69-7 HCAPLUS
 CN Acridine, 2-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-,
 trihydrochloride (7CI, 8CI) (CA INDEX NAME)



● 3 HCl

RN 4036-24-2 HCAPLUS
 CN Acridine, 3-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride (7CI, 8CI) (CA INDEX NAME)



● 3 HCl

L73 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1965:93856 HCAPLUS

DN 62:93856

OREF 62:16837h,16838a-b

TI Effect of acridine derivatives on the deoxyribonucleic acid content in sarcoma 180 in mice

AU Ledochowski, Zygmunt; Serozynska, Maria; Radzikowski, Czeslaw

CS Polska Akad. Nauk, Gdansk

SO Nowotwory (1964), 14(4), 317-24

DT Journal

LA Polish

CC 68 (Pharmacodynamics)

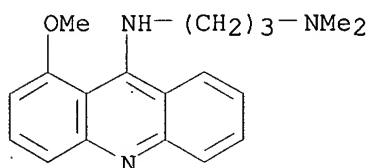
GI For diagram(s), see printed CA Issue.

AB The following data were reported for a no. of acridine derivs. (I) administered intraperitoneally (A) or by stomach tube (B) to mice with implanted sarcoma 180 (type of compd., n, R1, daily dosage in mg./kg., total dosage in mg./kg., route of administration, percent tumor inhibition, relative percent decrease of DNA P in the tumor and in the liver given): Ia, 3, 4-OMe, 0.1, 0.7, A, 20, 3, 0; Ia, 3, 1-OMe, 0.8, 4, B, 37, -9, -5; Ia, 4, 3-OMe, 1, 4, B, 58, 15, 5; Ia, 4, 2-Me, 1, 3, B, 29, -6, -5; Ia, 4, 1-Me, 1, 3, B, 46, 8, 0; Ia, 4, 3-NO2, 1, 3, B, 14, 14, 5; Ia, 3, 1-Me, 0.1, 0.7, A, 16, 2, 0; Ib, --, 2-OMe, 0.1, 0.3, A, 10, 5, 0; Ia, 4, 1-NMe2, 0.1, 0.7, A, 46, 13, 4; Ia, 3, 8-NO2, 0.25, 1.5 mg./kg., A, 55, 20, 6. As a reference, 6-mercaptopurine was given similarly (same data given): 75 mg./kg. daily for 6 days, A, 68, 51, 24; 75 mg./kg. daily for 8 days, A, 75, 55, 24; 100 mg./kg. daily, A, 80, 59, 25. Tumor growth inhibition due to I was considered to be unrelated to DNA synthesis.

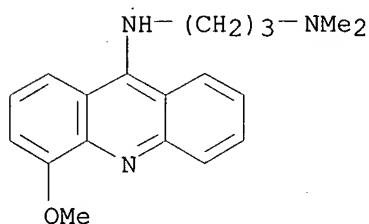
IT Deoxyribonucleic acids
 (in sarcoma, effect of acridine derivs. on)

IT Sarcoma
 (inhibitors of, acridine derivs. as)

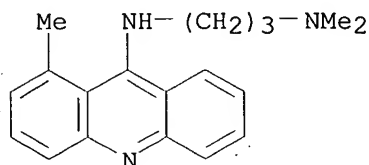
IT 3323-61-3, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-
 3324-09-2, Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-
 3505-65-5, Acridine, 9-[[4-(dimethylamino)butyl]amino]-3-methoxy-
 4292-63-1, Acridine, 9-[[4-(dimethylamino)butyl]amino]-3-nitro-
 4292-64-2, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-
 4533-37-3, Acridine, 9-[[4-(dimethylamino)butyl]amino]-2-methyl-
 4533-38-4, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-
 4533-39-5, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-nitro-
 4552-23-2, Acridine, 9-[[4-(dimethylamino)butyl]amino]-1-methyl-
 4574-03-2, Acridine, 1-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-
 (sarcoma inhibition by, deoxyribonucleic acid metabolism and)
 IT 3323-61-3, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-
 3324-09-2, Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-
 4533-38-4, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-
 (sarcoma inhibition by, deoxyribonucleic acid metabolism and)
 RN 3323-61-3 HCAPLUS
 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy- (7CI, 8CI) (CA
 INDEX NAME)



RN 3324-09-2 HCAPLUS
 CN 1,3-Propanediamine, N'-(4-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) (CA
 INDEX NAME)



RN 4533-38-4 HCAPLUS
 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl- (7CI, 8CI) (CA
 INDEX NAME)



L73 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2003 ACS

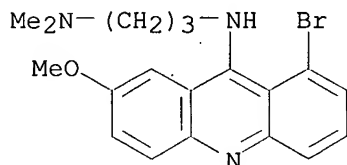
AN 1964:495373 HCAPLUS

DN 61:95373

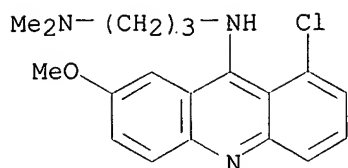
OREF 61:16622d-e

TI ~~Relation between chemical structure and tumor-inhibiting activity of~~
 acridine derivatives

- AU Ledochowski, Z.; Ledochowski, A.; Radzikowski, C.
 CS Politech. Univ., Gdansk, Pol.
 SO Acta, Unio Intern. Contra Cancrum (1964), 20(1-2), 122-5
 DT Journal
 LA English
 CC 68 (Pharmacodynamics)
 AB A no. of acridine derivs. were tested in vivo for anti-tumor activity in mice. Some active compds. had the N,N-dimethylaminobutylamine side chain at position 9. While compds. with Br in the 1 or 3 position were active, their Cl analogs were inactive. Replacement of 2 Et for 2 Me groups at the terminal N atom reduced the activity. In some instances, the nearest homologs of compds. with dimethylaminobutylamine were active. Some derivs. of azaacridine, benzacridine, quinoline, and intermediates in the acridine synthesis were inactive.
- IT Neoplasms
 (inhibitors of, acridine derivs. as)
- IT Acridine, 1-chloro-9-[[4-(diethylamino)-1-methylbutyl]amino]-7-methoxy-
 (as neoplasm inhibitor)
- IT 970-09-2, Acridine, 9-[[4-(dimethylamino)butyl]amino]- 977-95-7,
 Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]- 1046-70-4,
 Acridine, 9-[[4-(diethylamino)butyl]amino]- 1049-03-2, Acridine,
 1-bromo-9-[[4-(dimethylamino)butyl]amino]-7-methoxy- 1908-39-0,
 Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-
 13324-44-2, Acridine, 1-bromo-9-[[4-(diethylamino)-1-methylbutyl]amino]-7-
 methoxy- 13365-36-1, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-
 15016-04-3, Acridine, 3-chloro-9-[[4-(dimethylamino)butyl]amino]-
 15016-06-5, Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-
94379-31-4, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-
 methoxy- **94379-61-0**, Acridine, 1-chloro-9-[[3-
 (dimethylamino)propyl]amino]-7-methoxy- 94804-71-4, Acridine,
 1-chloro-9-[[4-(dimethylamino)butyl]amino]-7-methoxy- 94804-73-6,
 Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-
 95129-10-5, Acridine, 1-bromo-9-[[4-(diethylamino)butyl]amino]-7-methoxy-
 95433-79-7, Acridine, 6-bromo-9-[[4-(diethylamino)-1-methylbutyl]amino]-2-
 methoxy- 95949-46-5, Acridine, 6-bromo-9-[[4-(diethylamino)butyl]amino]-
 2-methoxy- 99061-33-3, Acridine, 6-bromo-9-[[4-
 (dimethylamino)butyl]amino]-2-ethoxy-
 (as neoplasm inhibitor)
- IT 4292-62-0, Acridine, 9-[[4-(dimethylamino)butyl]amino]-4-methoxy-
 (neoplasm inhibition by)
- IT **94379-31-4**, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-
 methoxy- **94379-61-0**, Acridine, 1-chloro-9-[[3-
 (dimethylamino)propyl]amino]-7-methoxy-
 (as neoplasm inhibitor)
- RN 94379-31-4 HCAPLUS
 CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy- (6CI, 7CI)
 (CA INDEX NAME)



- RN 94379-61-0 HCAPLUS
 CN Acridine, 1-chloro-9-[[3-(dimethylamino)propyl]amino]-7-methoxy- (7CI)
 (CA INDEX NAME)



L73 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1964:411263 HCAPLUS

DN 61:11263

OREF 61:1830d-g

TI Tumor-inhibiting compounds. XXI. Some N9-derivatives of 1 (and 2,3,or 4)-methyl-9-aminoacridine

AU Ledochowski, Andrzej; Stefanska, Barbara; Kozinska, Barbara

CS Inst. Tęch., Gdansk, Pol.

SO Roczniki Chem. (1964), 38(3), 421-4

DT Journal

LA Unavailable

CC 37 (Heterocyclic Compounds (One Hetero Atom))

GI For diagram(s), see printed CA Issue.

AB cf. CA 60, 14472a. 4-Methyl-9-(4-dimethylaminobutylamino)acridine-2HCl was active when tested on sarcoma 180 in mice. A mixt. (30 g.) contg. 1- and 3-methyl-9-chloroacridine was crystd. from C₆H₆ to give 10 g. 1-methyl-9-chloroacridine, m. 93-5.degree. (C₆H₆). The filtrate evapd. to dryness and refluxed with 100 ml. N HCl gave after 15 min. a ppt., m. 304-6.degree., and after 25 min. 3-methylacridone (I), m. 332-5.degree.. I when chlorinated gave 3 g. 3-methyl-9-chloroacridine (II), m. 118-19.5.degree. (H₂O-EtOH). II (5.6 g.) and 10 g. PhOH was heated 30 min. on a water bath to give 6.4 g. 3-methyl-9-phenoxyacridine (III), m. 141-3.degree. (C₆H₆). A mixt. of 2.8 g. III and 5 g. PhOH was heated 30 min. on a water bath, cooled, treated with 1.2 g. H₂NCH₂CH₂NMe₂.HCl and heated again 1.5 hrs. to give 3-methyl-9-(N,N-dimethylaminoethylamino)acridine dihydrochloride, m. 240-1.degree. (anhyd. EtOH). The following IV.xHCl were similarly prepd. (R, position of Me group, x, m.p., and % yield given): NHNMe₂, 2, 1, 230-1.degree., 52; NHNMe₂, 4, 1, 240.degree., 55; NH(CH₂)₂NMe₂, 1, 2, 239-40.degree., 86; NH(CH₂)₂NMe₂, 2, 2, 254-6.degree., 80; NH(CH₂)₂NMe₂, 4, 2, 250-1.degree., 91; NH(CH₂)₃NMe₂, 1, 2, 246-7.degree., 75; NH(CH₂)₃NMe₂, 2, 2, 242-3.degree., 84; NH(CH₂)₃NMe₂, 3, 2, 236-7.degree., 90; NH(CH₂)₃NMe₂, 4, 2, 243-4.degree., 80; NH(CH₂)₄NMe₂, 1, 2, 251-2.degree., 78; NH(CH₂)₄NMe₂, 2, 2, 250-1.degree., 63; NH(CH₂)₄NMe₂, 3, 2, 221-2.degree., 84; NH(CH₂)₄NMe₂, 4, 2, 241-2.degree., 73; NHCHMe(CH₂)₃NEt₂, 1, 2, 150.degree., 79; NHCHMe(CH₂)₃NEt₂, 2, - (picrate), 182-3.degree., 85; NHCHMe(CH₂)₃NEt₂, 3, 2, 220.degree., 80; NHCHMe(CH₂)₃NEt₂, 4, 2, 130.degree., 63; PhO, 1, -, 161-3.degree., 95; PhO, 2, -, 133-4.degree., 85; PhO, 3, -, 141-3.degree., 90; PhO, 4, -, 121-2.degree., 90.

IT Cancer

(inhibitors of)

IT Benzo [f] quinoline, 1,2,3,4,4a,5,6,10b-octahydro-4-methyl-, picrate, cis-
Benzo [f] quinoline, 1,2,3,4,4a,5,6,10h-octahydro-, picrate, cis-
Cyclohexylamine, 2-phenyl-, picrate, cis-
Cyclohexylamine, 2-phenyl-, picrate, trans-
Phenanthridine, 1,2,3,4,4a,5,6,10b-octahydro-, picrate, cis-
Phenanthridine, 1,2,3,4,4a,5,6,10b-octahydro-5-methyl-, picrate, trans-

IT Nitrogen compounds

(heterocyclic)

IT 34273-93-3, Acridine, 9-aminomethyl-
(derivs., as cancer inhibitors)

IT 16492-08-3, Acridine, 9-chloro-1-methyl- 16492-10-7, Acridine,
9-chloro-3-methyl- 22147-09-7, Cyclohexylamine, 2-phenyl-, cis-

22148-43-2, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methyl-
 23220-94-2, Formamide, N-(2-phenylcyclohexyl)-, cis- 23541-67-5,
 Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-4-methyl-,
 dihydrochloride 23541-69-7, Acridine, 9-[[4-(diethylamino)-1-
 methylbutyl]amino]-3-methyl-, dihydrochloride 23541-70-0,
 Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-, dihydrochloride
 23541-71-1, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-3-methyl-,
 dihydrochloride 23552-15-0, Acridine, 9-[[3-
 (dimethylamino)propyl]amino]-3-methyl-, dihydrochloride 23552-20-7
 , Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride
 23552-21-8, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-2-methyl-,
 dihydrochloride 23552-22-9, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-
 4-methyl-, dihydrochloride 23552-23-0, Acridine, 9-[[4-
 (dimethylamino)butyl]amino]-2-methyl-, dihydrochloride 23552-24-1,
 Acridine, 9-[[4-(dimethylamino)butyl]amino]-4-methyl-, dihydrochloride
 23552-25-2, Acridine, 9-[[4-(dimethylamino)butyl]amino]-1-methyl-,
 dihydrochloride 23552-26-3, Acridine, 9-[[4-(dimethylamino)butyl]amino]-
 3-methyl-, dihydrochloride 23552-29-6, Acridine,
 9-[[3-(dimethylamino)propyl]amino]-4-methyl-, dihydrochloride
 57165-19-2, 9-Acridanone, 3-methyl- 61078-23-7, Acridine,
 1-methyl-9-phenoxy- 61078-24-8, Acridine, 2-methyl-9-phenoxy-
 61078-25-9, Acridine, 4-methyl-9-phenoxy- 63211-78-9, Phenanthridine,
 1,2,3,4,4a,5,6,10b-octahydro-5-methyl-, cis- 90679-75-7, Phenanthridine,
 1,2,3,4-tetrahydro- 92028-13-2, Phenanthridine, 1,2,3,4-tetrahydro-,
 hydrochloride 94578-46-8, Acridine, 3-methyl-9-phenoxy- 95170-46-0,
 Phenanthridine, 1,2,3,4-tetrahydro-, picrate 95700-05-3, Acridine,
 9-[[2-(dimethylamino)ethyl]amino]-1-methyl-, dihydrochloride 96169-88-9,
 Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-1-methyl-,
 dihydrochloride 96712-05-9, Acridine, 9-[[4-(diethylamino)-1-
 methylbutyl]amino]-2-methyl-, dipicrate 97079-27-1, Benzo [f] quinoline,
 1,2,3,4,7,8,9,10-octahydro-, picrate 98075-30-0, Acridine,
 9-(2,2-dimethylhydrazino)-4-methyl-, hydrochloride 98089-38-4, Acridine,
 9-(2,2-dimethylhydrazino)-2-methyl-, hydrochloride

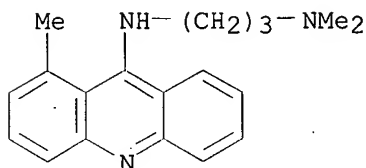
(prepn. of)

IT 23541-70-0, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-
 , dihydrochloride 23552-15-0, Acridine, 9-[[3-
 (dimethylamino)propyl]amino]-3-methyl-, dihydrochloride 23552-20-7
 , Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride
 23552-29-6, Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methyl-
 , dihydrochloride

(prepn. of)

RN 23541-70-0 HCAPLUS

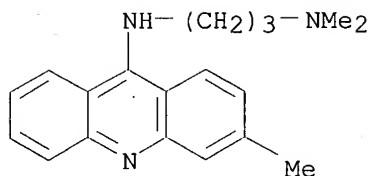
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-, dihydrochloride
 (7CI, 8CI) (CA INDEX NAME)



● 2 HCl

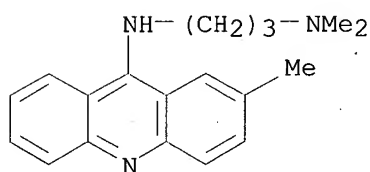
RN 23552-15-0 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methyl-, dihydrochloride
 (7CI, 8CI) (CA INDEX NAME)



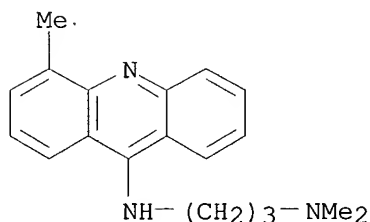
●2 HCl

RN 23552-20-7 HCAPLUS
 CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride
 (7CI, 8CI) (CA INDEX NAME)



●2 HCl

RN 23552-29-6 HCAPLUS
 CN 1,3-Propanediamine, N,N-dimethyl-N'-(4-methyl-9-acridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L73 ANSWER 6 OF 16 HCAPLUS. COPYRIGHT 2003 ACS

AN 1964:82776 HCAPLUS

DN 60:82776

OREF 60:14471g-h,14472a

TI Tumor-inhibiting compounds. XVIII. Investigations on the relationship between antitumor activity and the chemical structure of some N-substituted acridones and thioacridones. 1

AU Ledochowski, Zygmunt; Wysocka-Skrzela, Barbara

CS Polish Acad. Sci., Gdansk

SO Roczniki Chem. (1964), 38(2), 225-7

DT Journal

LA Unavailable

CC 37 (Heterocyclic Compounds (One Hetero Atom))

AB I were synthesized as potential anticancer agents. Thus, a soln. of 3.9

g. 9-acridone, 2.4 g. Cl(CH₂)₃NMe, 1 g. powd. NaNH₂, and 20 ml. anhyd. PhMe was heated 4 hrs. at 130.degree., and the product isolated as the HCl salt yielded 78% I.HCl [R = (CH₂)₃NMe₂], m. 220-1.degree. (alc.). Similarly prepd. were the following I (R, no. of HCl mols., m.p., and % yield given): (CH₂)₂NMe₂, 1, 213-14.degree., 61; (CH₂)₂NEt₂, 1, 234-5.degree., 58; CH(CH₂NMe₂)₂, 0, 109-10.degree., 66; CH(CH₂NEt₂)₂, 0, 115-16.degree., 64.

IT Neoplasms

(inhibitors of)

IT Neoplasms

(inhibitors of, 9-acridanones and 9-acridanthiones as)

IT 9-Acridanone, 10-[2-(diethylamino)-1-[(diethylamino)-methyl]ethyl]-

IT 578-95-0, 9-Acridanone

(derivs., as neoplasm inhibitors)

IT 6540-78-9, 9-Acridanthione

(derivs., for use as neoplasm inhibitors)

IT 94912-92-2, 9-Acridanone, 10-[2-(dimethylamino)-1-[(dimethylamino)-methyl]ethyl]- 100213-31-8, 9-Acridanone, 10-[3-(dimethylamino)propyl]-, hydrochloride

(prepn. of)

L73 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1964:82775 HCAPLUS

DN 60:82775

OREF 60:14471c-g

TI Tumor-inhibiting compounds. XVI. Some N9-derivatives of 1-, 2-, 3-, and 4-methoxy-9-aminoacridine

AU Ledochowski, Andrzej; Kozinska, Barbara; Stefanska, Barbara

CS Inst. Tech., Gdansk, Pol.

SO Roczniki Chem. (1964), 38(2), 219-24

DT Journal

LA Unavailable

CC 37 (Heterocyclic Compounds (One Hetero Atom))

GI For diagram(s), see printed CA Issue.

AB cf. CA 60, 1697a. A mixt. of 7.8 g. o-ClC₆H₄CO₂H, 7.4 g. m-MeOC₆H₄NH₂, 6.9 g. anhyd. K₂CO₃, 0.08 g. Cu dust, and 100 ml. iso-AmOH was refluxed 3.5 hrs. to give 6.2 g. o-HO₂CC₆H₄NHC₆H₄R (I) (R = 3-MeO) (II), m. 132-3.degree. (EtOH-H₂O). Similarly prepd. were the following I (R, m.p., and % yield given): 2-MeO, 176.degree., 30; 4-MeO, 184.degree., 67. A soln. of 4.8 g. II in 11 ml. POCl₃ was heated 2 hrs. at 120.degree., cooled, and poured into a mixt. of NH₄OH and ice to give 4.2 g. of a mixt. contg. III (R = Cl, R₁ = 1-MeO) (IV), and III (R = Cl, R₁ = 3-MeO) (V), m. 120-60.degree.. The mixt. extd. 5 min. with boiling alc. NH₃ yielded 24% IV, m. 125-7.degree. (cyclohexane), and 53% V, m. 166-8.degree. (C₆H₆). The following III (R = Cl) were prepd. (R₁, m.p., and % yield given): 2-MeO, 124-6.degree., 60; 4-MeO, 154.degree., 80. V (5.8 g.) heated with 10 g. PhOH during 30 min. on a water bath gave 5.4 g. III (R = PhO, R₁ = 3-MeO), m. 152-3.degree. (C₆H₆). Similarly prepd. were III (R = PhO) (R₁, m.p., and % yield given): 1-MeO, 151.degree. (cyclohexane), 80; 2-MeO, 163-4.degree., 94; 4-MeO, 149-50.degree., 90. A mixt. of 2.44 g. V and 5 g. PhOH was heated 30 min. on a water bath, cooled, treated with 1.5 ml. Me₂N(CH₂)₃NH₂, and heated 1.5 hrs. and the product isolated as the HCl salt to yield 76% III. HCl (R = NH(CH₂)₃NMe₂, R₁ = 3-MeO), m. 247-9.degree. (anhyd. EtOH). Similarly prepd. were the following III (R, R₁, no. of HCl mols., m.p. salt, and % yield given): NHNMe₂, 1-MeO, 1, 198-9.degree., 60; NH(CH₂)₂NMe₂, 1-MeO, 2, 228-30.degree., 80; NH(CH₂)₄NMe₂, 1-MeO, 2, 230.degree. (decompn.), 59; NHCHMe(CH₂)₃NEt₂, 1-MeO, 2, 138-40.degree., 86; NHNMe₂, 2-MeO, 1, 213-14.degree., 64; NH(CH₂)₂NMe₂, 2-MeO, 2, 253-4.degree., 63; NH(CH₂)₃NMe₂, 2-MeO, 2, 240-2.degree., 84; NH(CH₂)₄NMe₂, 2-MeO, 2, 231.5-32.degree., 80; NHCHMe(CH₂)₃NEt₂, 2-MeO, 2, 235-6.degree., 78; NHC₆H₄NMe₂, 2-MeO, 0, 188-9.degree., -; NHC₆H₄NMe₂, 2-MeO, 2, 227-9.degree., 43; NHNMe₂, 3-MeO, 0, 178-9.degree., -; NHNMe₂, 3-MeO, 1, 198-200.degree., 81; NH(CH₂)₂NMe₂,

3-MeO, 2, 222-4.degree., 80; NH(CH₂)₃NMe₂, 3-MeO, 2, 212-13.5.degree., 80; NH(CH₂)₄NMe₂, 3-MeO, 2, 180-2.degree., 66; NHCHMe(CH₂)₃NEt₂, 3-MeO, 2, 203-5.degree., 93; NHNMe, 4-MeO, 1, 186-7.degree., 19; NH(CH₂)₂NMe₂, 4-MeO, 2, 225-6.degree., 33; NH(CH₂)₃NMe₂, 4-MeO, 2, 225-6.degree., 30; NH(CH₂)₄NMe₂, 4-MeO, 2(VI), 204-5.5.degree., 30; NHC₆H₄NMe₂, 4-MeO, 2, 200.degree. (decompn.), 49; NHCHMe(CH₂)₃NEt₂, 4-MeO, -, (picrate, m. 160-1.degree.), 66. VI was active when tested on sarcoma 180 in mice.

IT Neoplasms

(inhibitors of)

IT Acridine, 9-[(dimethylamino)anilino]-1-methoxy-, dihydrochloride

IT 3407-99-6, Acridine, 9-amino-2-methoxy- 10496-96-5, Acridine,

9-amino-4-methoxy- 23045-25-2, Acridine, 9-amino-1-methoxy-

23045-26-3, Acridine, 9-amino-3-methoxy-

(derivs.)

IT 13278-32-5, Anthranilic acid, N-(o-methoxyphenyl)- 13501-67-2,

Anthranilic acid, N-(p-methoxyphenyl)- 16492-12-9, Acridine,

9-chloro-1-methoxy- 16492-13-0, Acridine, 9-chloro-2-methoxy-

16492-14-1, Acridine, 9-chloro-3-methoxy- 16492-15-2, Acridine,

9-chloro-4-methoxy- 22089-29-8, Acridine, 9-(2,2-dimethylhydrazino)-2-

methoxy- 23552-01-4, Acridine, 9-[[3-

(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride 23552-02-5,

Acridine, 9-[[4-(dimethylamino)butyl]amino]-4-methoxy-, dihydrochloride

23552-04-7, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-

, dihydrochloride 23552-06-9, Acridine, 9-[[3-

(dimethylamino)propyl]amino]-3-methoxy-, dihydrochloride 23552-07-0,

Acridine, 9-[[2-(dimethylamino)ethyl]amino]-2-methoxy-, dihydrochloride

23552-09-2, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-4-methoxy-,

dihydrochloride 23552-11-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-

1-methoxy-, dihydrochloride 23552-12-7, Acridine, 9-[[4-

(dimethylamino)butyl]amino]-3-methoxy-, dihydrochloride 23552-16-1,

Acridine, 9-[[2-(dimethylamino)ethyl]amino]-1-methoxy-, dihydrochloride

23552-18-3, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-

, dihydrochloride 23552-19-4, Acridine, 9-[[4-(diethylamino)-1-

methylbutyl]amino]-3-methoxy-, dihydrochloride 23552-28-5,

Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride

24430-81-7, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-4-methoxy-

, hydrochloride 27693-73-8, Anthranilic acid, N-(m-methoxyphenyl)-

61078-20-4, Acridine, 2-methoxy-9-phenoxy- 61078-21-5, Acridine,

3-methoxy-9-phenoxy- 61078-22-6, Acridine, 4-methoxy-9-phenoxy-

94578-59-3, Acridine, 1-methoxy-9-phenoxy- 96001-53-5, Acridine,

9-[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride 96712-06-0,

Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-1-methoxy-, dipicrate

98075-35-5, Acridine, 9-(2,2-dimethylhydrazino)-1-methoxy-, hydrochloride

98075-36-6, Acridine, 9-(2,2-dimethylhydrazino)-2-methoxy-, hydrochloride

98075-37-7, Acridine, 9-(2,2-dimethylhydrazino)-3-methoxy-, hydrochloride

98075-38-8, Acridine, 9-(2,2-dimethylhydrazino)-4-methoxy-, hydrochloride

106977-77-9, Acridine, 9-[(dimethylamino)anilino]-3-methoxy-,

dihydrochloride 106977-78-0, Acridine, 9-[(dimethylamino)anilino]-3-

methoxy- 107632-28-0, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-3-

methoxy-, dihydrochloride

(prepn. of)

IT 23552-01-4, Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methoxy-

, dihydrochloride 23552-04-7, Acridine, 9-[[3-

(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride

23552-06-9, Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy-

, dihydrochloride 23552-28-5, Acridine, 9-[[3-

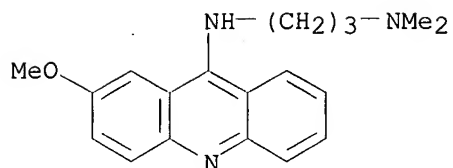
(dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride

(prepn. of)

RN 23552-01-4 HCAPLUS

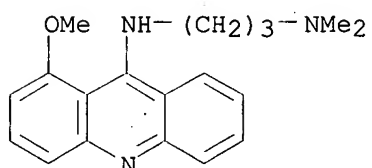
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride

(7CI, 8CI) (CA INDEX NAME)



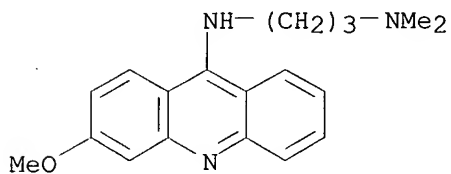
● 2 HCl

RN 23552-04-7 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



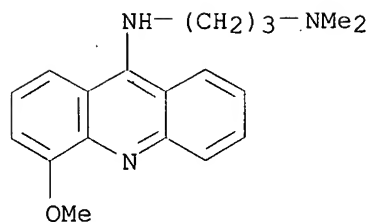
● 2 HCl

RN 23552-06-9 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



● 2 HCl

RN 23552-28-5 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



●2 HCl

L73 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1964:78130 HCAPLUS

DN 60:78130

OREF 60:13755h,13756a-d

TI Antineoplastic compounds. II. Effect of 38 compounds of the groups III-X on the growth of Crocker sarcoma in mice

AU Radzikowski, Czeslaw; Ledochowski, Zygmunt; Ledochowski, Andrzej; Ruprecht, Maria; Hrabowska, Maria

CS Akad. Med., Gdansk, Pol.

SO Patol. Polska (1962), 13(1), 39-58

DT Journal

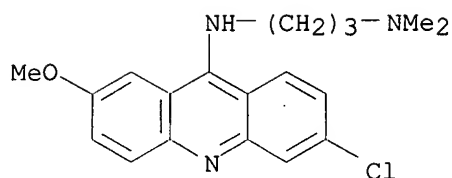
LA Unavailable

CC 68 (Pharmacodynamics)

AB cf. CA 53, 9469i. Oral administration of several substituted acridines to mice with Crocker sarcoma gave the following results (substituent(s), daily dosage in mg., total dosage in mg., % inhibition given): 3-Cl, 5-MeO, 9-R, 3, 21, 15; 3-Cl, 6-MeO, 9-R, 3, 21, 0; 3-Cl, 8-MeO, 9-R, 3, 21, 55; 3-Cl, 7-MeO, 9-R, 3, 21, 33; same compd., 3, 36, 76; 1-Cl, 7-MeO, 9-R, 3, 21, 17; 2-Cl, 7-MeO, 9-R, 3, 36, 16; 4-Cl, 7-MeO, 9-R, 3, 21, 43; 1-Cl, 9-R, 3, 36, -7; 3-Cl, 9-R, 3, 36, -27; 1-Br, 9-R, 3, 36, -7; 3-Br, 9-R, 3, 21, 40; 9-R, 3, 36, 73; 2-MeO, 9-R, 3, 36, 38 (in all the compds. above, R = Me₂N(CH₂)₄NH); 3-Cl, 7-MeO, 9-H₂N(CH₂)₄NH, 3, 18, 0; 3-Cl, 7-MeO, 9-Et₂N(CH₂)₃CHMeNH [bis(methanesulfonate)], 0.3 subcutaneously, 3.6, 66; 3-Cl, 7-MeO, 9-Me₂N(CH₂)₃NH, 3, 36, 8; 3-Cl, 7-MeO, 9-(HOCH₂CH₂)₂N(CH₂)₄NH, 3, 18, 0; 3-Cl, 7-MeO, 10-oxide, 9-Et₂N(.fwdarw. O)(CH₂)₃CHMeNH, 3, 18, 29; 1-Br, 7-MeO, 9-Et₂N(CH₂)₄NH, 3, 36, -25; 1-Br, 7-MeO, 9-Et₂N(CH₂)₁₀NH, 3, 21, -30. Other acridine derivs. tested were (same data given): N,N'-bis(3-chloro-7-methoxy-9-acridyl)putrescine, 3, 18, 0; N,N'-bis(9-acridyl)putrescine, 3, 18, -10; 12-[(4-dimethylamino)butylamino]-benz[.alpha.]acridine, 1.5, 10.5, 4; 12-[(4-dimethylamino)butylamino]benz-[c]acridine, 1.5, 10.5, 15. All of the compds. listed above were tested in the form of the di-HCl salts. The next series of expts. involved derivs. of phenylanthranilic acid of the general structure 2,5- NaO₂C(R₁)C₆H₃ N(R)₂C₆H₄R₂-4 (R, R₁, R₂, and the same biol.-testing data as above given): H, H, H, 3, 36, -46; Ph, H, H, 3, 36, -12; Me, H, H, 3, 36, 49; H, Br, H, 3, 18, 8; H, Cl, MeO, 3, 36, 55. The biol. testing comprised also certain intermediates and quinoline analogs (same biol. data as above): anthranilic acid, 3, 36, -9; 2,4-dichlorobenzoic acid, 3, 36, 31; N,N-diethylputrescine, 3, 36, 8; N,N-dimethylputrescine, 3, 36, 66; N-(2-diethylaminoethyl)-4-aminobenzamide-2HCl, 3, 36, 42; N-(4-quinolyl)-N',N'-dimethylputrescine-2HCl, 3, 36, 13; N-(3-chloro-4-quinolyl)-N',N'-dimethylputrescine-2HCl, 3, 36, 26; N-(7-chloro-4-quinolyl)-N',N'-dimethyl-1,3-diaminopropane-2HCl, 3, 36, -31; N-(7-bromo-4-quinolyl)-N',N'-dimethyl-1,3-diaminopropane-2HCl, 3, 36, -32. A discussion is presented of the relation between the chem. structure and the biol. activity.

IT Sarcoma

- (inhibitors of, acridine derivs., quinoline analogs, etc., as)
- IT Acridine, 1-chloro-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
 Acridine, 6-chloro-9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-
 (quinacrine), dimethanesulfonate, dihydrochloride
 Acridine, 6-chloro-9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-
 (quinacrine), dioxide, dihydrochloride
 Benzamide, p-amino-N-[2-(diethylamino)ethyl]-, dihydrochloride
 Ethanol, 2,2'-[[4-[(6-chloro-2-methoxy-9-acridinyl)amino]butyl]imino]di-,
 dihydrochloride
 (sarcoma inhibition by)
- IT Benz[a]acridino, 12-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
 (sarcoma inhibition by)
- IT 959-45-5, Piperidine, 1-(o-bromobenzyl)-2,2,6,6-tetramethyl-,
 hydrochloride
 (as nerve center-blocking agent)
- IT 50-84-0, Benzoic acid, 2,4-dichloro- 91-38-3, Anthranilic acid,
 4-chloro-N-(p-methoxyphenyl)- 91-40-7, Anthranilic acid, N-phenyl-
 118-92-3, Anthranilic acid 3529-10-0, 1,4-Butanediamine, N,N-dimethyl-
 5636-91-9, Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-
 , dihydrochloride 17626-44-7, Anthranilic acid, N,N-diphenyl-
 19218-86-1, Anthranilic acid, 4-bromo-N-phenyl- 23551-98-6, Acridine,
 9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 23551-99-7,
 Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
 23552-00-3, Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-
 , dihydrochloride 27431-62-5, 1,4-Butanediamine, N,N-diethyl-
 35555-83-0, Acridine, 9,9'-(tetramethylenediimino)di-, dihydrochloride
 58903-55-2, Acridine, 9,9'-(tetramethylenediimino)bis[6-chloro-2-methoxy-
 59962-52-6, Acridine, 6-chloro-9-[[3-(dimethylamino)propyl]amino]-
 2-methoxy-, dihydrochloride 73323-82-7, Anthranilic acid,
 N-methyl-N-phenyl- 93896-89-0, Quinoline, 7-bromo-4-[[3-
 (dimethylamino)propyl]amino]-, dihydrochloride 93897-10-0, Quinoline,
 7-chloro-4-[[3-(dimethylamino)propyl]amino]-, dihydrochloride
 94204-64-5, Quinoline, 3-chloro-4-[[4-(dimethylamino)butyl]amino]-,
 dihydrochloride 94296-96-5, Quinoline, 4-[[4-(dimethylamino)butyl]amino]-
 , dihydrochloride 95426-40-7, Acridine, 9-[[4-(aminobutyl)amino]-6-chloro-
 2-methoxy-, dihydrochloride 95428-48-1, Acridine, 1-bromo-9-[[4-
 (dimethylamino)butyl]amino]-, dihydrochloride 95428-60-7, Acridine,
 3-chloro-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 95946-18-2,
 Acridine, 1-chloro-9-[[4-(dimethylamino)butyl]amino]-7-methoxy-,
 dihydrochloride 95946-19-3, Acridine, 2-chloro-9-[[4-
 (dimethylamino)butyl]amino]-7-methoxy-, dihydrochloride 95946-20-6,
 Acridine, 3-chloro-9-[[4-(dimethylamino)butyl]amino]-5-methoxy-,
 dihydrochloride 95946-21-7, Acridine, 3-chloro-9-[[4-
 (dimethylamino)butyl]amino]-6-methoxy-, dihydrochloride 95946-22-8,
 Acridine, 5-chloro-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-,
 dihydrochloride 95949-45-4, Acridine, 1-bromo-9-[[4-
 (diethylamino)butyl]amino]-7-methoxy-, dihydrochloride 96001-53-5,
 Acridine, 9-[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride
 96370-52-4, Benz[c]acridine, 9-[[4-(dimethylamino)butyl]amino]-,
 dihydrochloride 96868-08-5, Acridine, 1-bromo-9-[[10-
 (diethylamino)decyl]amino]-7-methoxy-, dihydrochloride
 (sarcoma inhibition by)
- IT 59962-52-6, Acridine, 6-chloro-9-[[3-(dimethylamino)propyl]amino]-
 2-methoxy-, dihydrochloride
 (sarcoma inhibition by)
- RN 59962-52-6 HCAPLUS
- CN 1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-dimethyl-,
 dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L73 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1963:465080 HCAPLUS

DN 59:65080

OREF 59:12046h,12047a

TI Tumor-inhibiting compounds in the group of 9-aminoacridine derivatives

AU Ledochowski, Z.; Ledochowski, A.; Radzikowski, C.

CS Politech., Danzig, Pol.

SO Bull. Acad. Polon. Sci., Ser. Sci. Chim. (1961), 9, 179-82

DT Journal

LA English

CC 68 (Pharmacodynamics)

AB A total of 49 derivs. of 9-aminoacridine, 27 derivs. of N-phenylanthranilic acid, and 21 derivs. of 9-chloroacridine were prepd. and investigated as to their tumor-inhibiting activity on mice with Crocker sarcoma. A correlation existed between the structure of the examd. compds. and their tumor-inhibiting activity. Of the 6 compds. which proved to be active, 5 were derivs. of N,N-dimethylputrescine and only one a deriv. of N,N-dimethyl-1,3-diaminopropane; the derivs. contg. other amines in position 9 were inactive. The activity is usually suppressed by the substitution of Cl for Br in position 1 or 3, H for Br, and Et for the Me group at the terminal N of the side chain.

IT Neoplasms

(inhibitors of, 9-aminoacridine deriv. as)

IT Sarcoma

(inhibitors of, 9-aminoacridine derivs. as)

IT 90-45-9, Acridine, 9-amino-

(derivs., as neoplasm inhibitors)

IT 23551-95-3, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 23551-96-4, Acridine, 1-bromo-9-[[4-(dimethylamino)butyl]amino]-7-methoxy-, dihydrochloride 23551-97-5, Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride 23551-98-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 23551-99-7, Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 23552-00-3, Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-, dihydrochloride 95428-49-2, Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride 95618-14-7, Acridine, 1-bromo-9-[[2-(dimethylamino)ethyl]amino]-7-methoxy-, dihydrochloride 95618-15-8, Acridine, 6-bromo-9-[[2-(dimethylamino)ethyl]amino]-2-methoxy-, dihydrochloride 99061-34-4, Acridine, 1-bromo-9-[[5-(dimethylamino)pentyl]amino]-7-methoxy-, dihydrochloride 99114-54-2, Acridine, 6-bromo-9-[[5-(dimethylamino)pentyl]amino]-2-methoxy-, dihydrochloride

(neoplasm inhibition by)

IT 50-35-1, Phthalimide, N-(2,6-dioxo-3-piperidyl)-

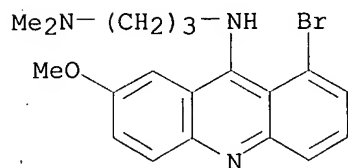
(neoplasm response to)

IT 23551-95-3, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 95428-49-2, Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride

(neoplasm inhibition by)

RN 23551-95-3 HCAPLUS

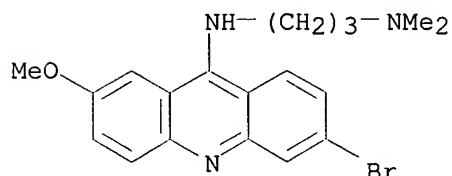
CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)



●2 HCl

RN 95428-49-2 HCAPLUS

CN Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride (6CI, 7CI) (CA INDEX NAME)



●2 HCl

L73 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1963:464399 HCAPLUS

DN 59:64399

OREF 59:11935h,11936a-b

TI Experimental approaches to the chemotherapy of Trichomonas

AU Ryley, J. F.; Stacey, G. J.

CS Imp. Chem. Inds., Ltd., Macclesfield, UK

SO Parasitology (1963), 53, 303-20

DT Journal

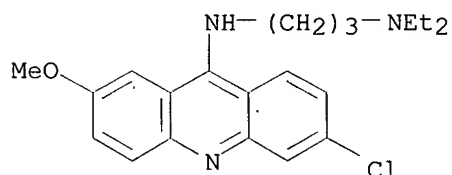
LA Unavailable

CC 62 (Microbial Biochemistry)

AB Expts. with several compds. active in vitro, using cultures of the Belfast and Manley strains of *T. foetus*, *T. vaginalis*, *T. gallinae*, and the S and H 11 strains of *T. suis* showed that all responded in essentially the same manner to the drugs. In vivo tests were carried out in hamsters, mice, rats, and monkeys. Compds. active in vitro but with low in vivo activity included tetramethylthiuram disulfide, 7-chloro-4,6-dimethoxy-6'-benzylthio)-2'-methylspiro- [benzofuran-2(3H)] 1'-[2]cyclohexene-3,4'-dione, 6-chloro-9-[(3-diethylaminopropyl)amino]-2-methoxyacridine, quinoxaline N,N'-dioxide, 2-amino-7H-benzo[e]perimidin-7-one, 6-[(3-diethylaminopropyl)amino]-7H-benzo[e]perimidin-7-one, 4-(5-nitro-2-furyl)-2-(3-pyridyl)thiazole, 5-nitro-N-(2-oxazolidinon-3-yl)-2-furamidine, aminotriazole, 2-formamido-4-nitrothiazole, and 1,1'-pentamethylenebis(4-nitropyrazole). Those active in vivo included: acriflavine, furazolidone, Milibis, Penotrane, picric acid, AgNO₃, Steresil, and the following acridine derivs.: 9-NH₂, 2,6-di-NH₂; 2-MeO, 9-NHCH(Me)(CH₂)₃NEt₂; 2-NO₂, 9-NH-(CH₂)₃NEt₂; 2-NO₂, 9-NH(CH₂)₃NEt₂, 6-Cl;

3-NO₂, 9-NH-(CH₂)₃NEt₂, 7-Cl, 5-Me; 2-NO₂, 9-NH(CH₂)₃NEt₂, 7-Me;
2,7-di-NO₂, 9-NH(CH₂)₃NEt₂; 3-NO₂, 9-NHCH(Me)(CH₂)₃-NEt₂.

- IT Trichomonas
(chemotherapy of)
- IT Mercury, phenylmercury methylenedi-2-naphthalenesulfonate
Penotran
Steresil
(Trichomonas response to)
- IT 144-87-6, Arsanilic acid, N-glycoloyl-
(bismuth deriv., Trichomonas response to)
- IT 31154-87-7, 2-Naphthalenesulfonic acid, methylenedi-
(phenylmercury deriv., Trichomonas response to)
- IT 67-45-8, 2-Oxazolidinone, 3-[(5-nitrofurfurylidene)amino]- 88-89-1,
Picric acid 116-49-4, Bismuth, oxo(hydrogen N-glycoloylarsanilato)-
137-26-8, Disulfide, bis(dimethylthiocarbamoyl) 2423-66-7, Quinoxaline,
1,4-dioxide 2731-45-5, Pyridine, 3-[4-(5-nitro-2-furyl)-2-thiazolyl]-
4292-64-2, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-
7761-88-8, Silver nitrate 22157-48-8, Acridine, 9-[[4-(diethylamino)-1-
methylbutyl]amino]-3-nitro- 23874-17-1, 7H-Benzo[e]perimidin-7-one,
2-amino- 65589-70-0, Acriflavine **67947-05-1**, Acridine,
6-chloro-9-[[3-(diethylamino)propyl]amino]-2-methoxy- 89280-18-2,
Formamide, N-(4-nitro-2-thiazolyl)- 91803-24-6, Acridine,
2,6,9-triamino- 91969-20-9, Pyrazole, 1,1'-pentamethylenebis[4-nitro-
92336-52-2, 2-Furamidine, 5-nitro-N-(2-oxo-3-oxazolidinyl)- 94578-10-6,
Acridine, 9-[[3-(diethylamino)propyl]amino]-2,7-dinitro- 94758-32-4,
Acridine, 6-chloro-9-[[3-(diethylamino)propyl]amino]-2-nitro-
94758-70-0, Acridine, 9-[[3-(diethylamino)propyl]amino]-2-nitro-
95281-98-4, Acridine, 9-[[3-(diethylamino)propyl]amino]-2-methyl-7-nitro-
96171-41-4, Acridine, 2-chloro-9-[[3-(diethylamino)propyl]amino]-4-methyl-
6-nitro- 96261-43-7, 7H-Benzo[e]perimidin-7-one, 6-[[3-
(diethylamino)propyl]amino]- 104694-93-1, Spiro[benzofuran-2(3H),1'-
[2]cyclohexene]-3,4'-dione, 6'-(benzylthio)-7-chloro-4,6-dimethoxy-2'-
methyl-
(Trichomonas response to)
- IT **67947-05-1**, Acridine, 6-chloro-9-[[3-(diethylamino)propyl]amino]-2-
methoxy-
(Trichomonas response to)
- RN 67947-05-1 HCAPLUS
- CN 1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-diethyl- (9CI)
(CA INDEX NAME)



L73 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1963:35499 HCAPLUS

DN 58:35499

OREF 58:6101g-h

TI Tumor-inhibiting activity of some 9-aminoacridines and related compounds

AU Radzikowski, C.; Ledochowski, Z.; Ledochowski, A.

SO Acta, Unio. Intern. Contra Cancrum (1962), 18, 222-4

DT Journal

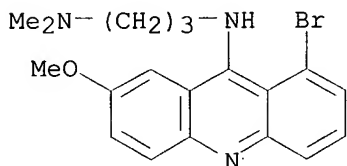
LA English

CC 68 (Pharmacodynamics)

AB A series of 60 acridines and related compds. (not listed) were screened
for activity against sarcoma 180 in mice. Antitumor activity was present

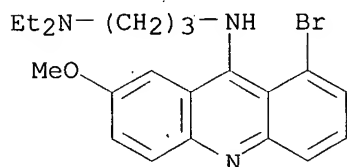
in 7 of these, all of which were 9-acridyl derivs. of 4-dimethylaminobutylamine or 3-dimethyl- or 3-diethylpropylamine. Position 1 or 3 was generally substituted with Br and position 7 with MeO.

- IT Sarcoma
(inhibitors of, 9-aminoacridines and related compds. as)
- IT 1762-95-4, Ammonium thiocyanate 7783-20-2, Ammonium sulfate
12125-02-9, Ammonium chloride
(brain elec. activity response to)
- IT 5636-91-9, Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride **23551-95-3**, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 23551-96-4, Acridine, 1-bromo-9-[[4-(dimethylamino)butyl]amino]-7-methoxy-, dihydrochloride 23551-97-5, Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride 23551-98-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 23551-99-7, Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride **98067-83-5**, Acridine, 1-bromo-9-[[3-(diethylamino)propyl]amino]-7-methoxy-, dihydrochloride
(sarcoma inhibition by)
- IT **23551-95-3**, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride **98067-83-5**, Acridine, 1-bromo-9-[[3-(diethylamino)propyl]amino]-7-methoxy-, dihydrochloride
(sarcoma inhibition by)
- RN 23551-95-3 HCAPLUS
- CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)



● 2 HCl

- RN 98067-83-5 HCAPLUS
- CN Acridine, 1-bromo-9-[[3-(diethylamino)propyl]amino]-7-methoxy-, dihydrochloride (7CI) (CA INDEX NAME)



● 2 HCl

- L73 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2003 ACS
- AN 1962:7649 HCAPLUS
- DN 56:7649
- OREF 56:1428d-f
- TI Research of tumor-inhibiting compounds. IX. Synthesis of

(4-dimethylaminobutylamino)benzacridines and the relation between tumor-inhibiting activity and structure of some acridine and quinoline derivatives

- AU Ledochowski, Zygmunt; Ledochowski, Andrzej; Radzikowski, Czeslaw; Wysocka-Skrzela, Barbara; Konopa, Jerzy; Jurkiewicz, Zbigniew
 CS Akad. Med., Gdansk, Pol.
 SO Roczniki Chem. (1961), 35, 899-905
 DT Journal
 LA Unavailable
 CC 31 (Heterocyclic Compounds-One Hetero Atom)
 AB 12-Chlorobenz[a]acridine (4 g.) in 15 g. PhOH was heated 4 hrs. at 100.degree. with 1.8 g. 4-dimethylaminobutylamine. After sepn. of acridone there was obtained (repeated crystn, from EtOH-Et.O) 27% 12-(4-dimethylaminobutylamino)benz[a]acridine hydrochloride (I) (m. 214-18.degree.). 7-(4-Dimethylaminobutylamino)benz[c]acridine (II) (m. 120-30.degree.) was obtained similarly. Both I and II were active against Crocker's sarcoma in mice. Results of biol. tests of other acridine or quinoline derivs. (all were inactive), prepd. previously (cf. ibid. 34, 953(1960)), were presented and discussed.
- IT Benz[a]acridino, 12-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
 IT Benz[c]acridine, 7-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
 IT 23551-98-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride (cancer-inhibiting activity of)
- L73 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2003 ACS
 AN 1961:44554 HCAPLUS
 DN 55:44554
 OREF 55:8646d-e
 TI The action of some acridine derivatives on the growth of Crocker sarcoma in mice
- AU Radzikowski, Czeslaw; Nazarewicz, Teresa; Ledochowski, Zygmunt; Ledochowski, Andrzej; Borowski, Edward
 CS Med. Acad., Gdansk, Pol.
 SO Polish Med. Sci. Hist. (1960), 3, 154-9
 DT Journal
 LA Unavailable
 CC 11H (Biological Chemistry: Pharmacology)
 AB The inhibiting action of 32 acridine derivs. on Crocker sarcoma 180 was studied. The test mice received 3 mg. daily of the compd. for 10 days after the sarcoma implantation and were examd. on the 10 to 14th days. Two compds., 1-bromo-7-methoxy-9-(3-dimethylaminopropylamino)acridine-2HCl and 1-bromo-7-methoxy-9-(4-dimethylaminobutylamino)acridine-2HCl, showed definite inhibition of sarcoma growth (less than one-half the size in controls). Both compds. showed toxicity. Five other compds. showed activity which was variable; all had the diamine chain at position-9, 4 had the methoxy group at 7 with 2 having Br at 1 and 2 with Cl at position-3. Anticancer action may be an antimitotic effect and the toxic effect indicates cytotoxic action.
- IT Neoplasms
 (inhibitors of, acridine derivs. as)
 IT Methanesulfonic acid, compd. with quinacrine
 (as neoplasm inhibitor)
 IT 316-05-2, Quinacrine, dimethanesulfonate 970-09-2, Acridine, 9-[[4-(4-dimethylaminobutyl)amino]- 1049-03-2, Acridine, 1-bromo-9-[[4-(4-dimethylaminobutyl)amino]-7-methoxy- 1908-39-0, Acridine, 6-bromo-9-[[4-(4-dimethylaminobutyl)amino]-2-methoxy- 7703-17-5, Acridine, 6-chloro-9-[[4-(4-dimethylaminobutyl)amino]-2-methoxy- 15016-04-3, Acridine, 3-chloro-9-[[4-(4-dimethylaminobutyl)amino]- 15016-06-5, Acridine, 3-bromo-9-[[4-(4-dimethylaminobutyl)amino]- 22089-50-5, Acridine, 9-[[4-(4-dimethylaminobutyl)amino]-2-methoxy- 55915-26-9, Acridine, 6-bromo-9-[[2-(dimethylaminoethyl)amino]-2-methoxy- 55915-27-0, Acridine, 6-bromo-9-[[3-(dimethylaminopropyl)amino]-2-methoxy- 55915-28-1, Acridine, 6-bromo-9-[[5-(dimethylaminopentyl)amino]-2-methoxy-

55935-12-1, Acridine, 6-chloro-9-[(3-dimethylaminopropyl)amino]-2-methoxy- 93010-51-6, Acridine, 6-bromo-9-(2,2-dimethylhydrazino)-2-methoxy- 94379-31-4, Acridine, 1-bromo-9-[(3-dimethylaminopropyl)amino]-7-methoxy- 94804-71-4, Acridine, 1-chloro-9-[(4-dimethylaminobutyl)amino]-7-methoxy- 102559-53-5, Benz[c]acridine, 7-[(4-dimethylaminobutyl)amino]- 109559-05-9, Acridine, 1-bromo-9-[(2-dimethylaminoethyl)amino]-7-methoxy- 111584-11-3, Acridine, 6-bromo-9-(p-dimethylaminoanilino)-2-methoxy- 111584-67-9, Acridine, 1-bromo-9-[(5-dimethylaminopentyl)amino]-7-methoxy- 111584-95-3, Acridine, 1-bromo-9-(p-dimethylaminoanilino)-7-methoxy- 131590-08-4, Acridine, 1-bromo-9-(2,2-dimethylhydrazino)-7-methoxy- (as neoplasm inhibitor)

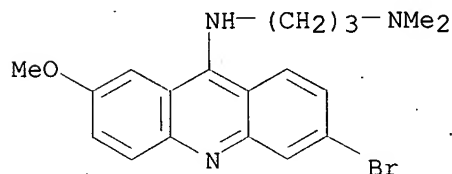
IT 260-94-6, Acridine

(derivs., as neoplasm inhibitors)

IT 55915-27-0, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-methoxy- 55935-12-1, Acridine, 6-chloro-9-[(3-dimethylaminopropyl)amino]-2-methoxy- 94379-31-4, Acridine, 1-bromo-9-[(3-dimethylaminopropyl)amino]-7-methoxy- (as neoplasm inhibitor)

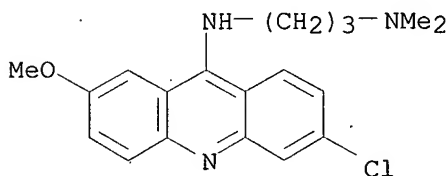
RN 55915-27-0 HCAPLUS

CN 1,3-Propanediamine, N'-(6-bromo-2-methoxy-9-acridinyl)-N,N-dimethyl- (9CI)
(CA INDEX NAME)



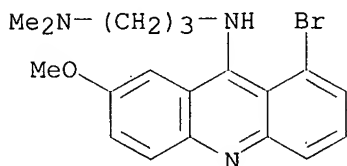
RN 55935-12-1 HCAPLUS

CN 1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-dimethyl- (9CI)
(CA INDEX NAME)



RN 94379-31-4 HCAPLUS

CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy- (6CI, 7CI)
(CA INDEX NAME)



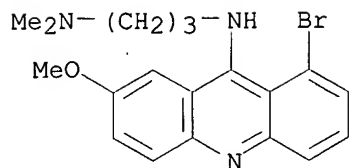
L73 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1960:86484 HCAPLUS

DN 54:86484

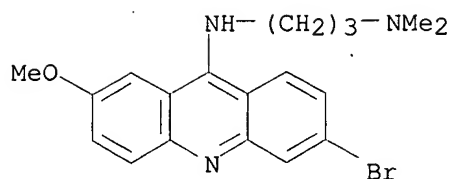
OREF 54:16452e-h

- TI Tumor inhibiting compounds. III. The synthesis of some derivatives of
1-bromo-7-methoxy-9-aminoacridine
- AU Ledochowski, Zygmunt; Ledochowski, Andrzej; Borowski, Edward; Radzikowski,
Czeslaw; Morawski, Bohdan; Gawle, Kazimierz; Kozlowski, Edmund;
Jakubowska, Lucja; Grabowska, Krystyna
- CS Politechnika, Gdansk, Pol.
- SO Roczniki Chem. (1960), 34, 53-62
- DT Journal
- LA English
- CC 10G (Organic Chemistry: Heterocyclic Compounds)
- AB cf. CA 54, 12140g. 2-Bromo-5-methoxybenzoic acid (231 g.), 190 g.
m-bromoaniline, 138 g. anhyd. K₂CO₃, and 2 g. powd. Cu was boiled 2 hrs.
in 700 ml. BuOH (I), the I distd. with steam, 5 l. boiling H₂O added, and
the whole filtered: Na₂S (20 g.) and active C were added and the mixt.
filtered. N-(3-Bromophenyl)-4-methoxyanthranilic acid (II) (m.
1945.degree., yield 71%) was pptd. by neutralization with 2.5% H₂SO₄. II
(161 g.) was heated 2.5 hrs. to 140.degree. with 500 ml. POCl₃ and the
excess reagent distd. in vacuo. The residue was poured into 5 kg. ice-1
kg. concd. NH₃, and extd. with CHCl₃ to obtain 28% 1-bromo-7-methoxy-9-
chloroacridine (III), m. 184.degree.. III was treated with PhOH, cooled,
and the HCl salt pptd. with Et₂O. Addn. of 2.5N KOH gave 90%
1-bromo-7-methoxy-9-phenoxyacridine, m. 140-1.degree.. The following HCl
salts were obtained by condensation of III with N,N-dimethyldiamines (m.p.
and % yield given): -HNNMe₂ 220.degree., 36; -HN(CH₂)₂NMe₂,
220.5-1.5.degree., 22; -HN(CH₂)₃NMe₂, 243.degree., 42; -HN(CH₂)₄NMe₂,
239.5.degree., 45; -HN(CH₂)₅NMe₂, 239.degree., 47; and -HNC₆H₄NMe₂.2HCl,
218-20.degree. (decompn.), 37. Their tumor inhibiting activity was
investigated on mice with Sarcoma Crockeri (Sa 180). Statistical data
were given. For biol. details-see Patologia Polska 9, 331(1958).
- IT Neoplasms
(inhibitors of)
- IT Acridine, 9-amino-1-bromo-7-methoxy-
(derivs.)
- IT **23551-95-3**, Acridine, 1-bromo-9-[(3-dimethylaminopropyl)amino]-7-
methoxy-, dihydrochloride 23551-96-4, Acridine, 1-bromo-9-[(4-
dimethylaminobutyl)amino]-7-methoxy-, dihydrochloride 38135-48-7,
m-Anisic acid, 6-m-bromoanilino- 95618-14-7, Acridine,
1-bromo-9-[(2-dimethylaminoethyl)amino]-7-methoxy-, dihydrochloride
99061-34-4, Acridine, 1-bromo-9-[(5-dimethylaminopentyl)amino]-7-methoxy-,
dihydrochloride 100527-42-2, Acridine, 1-bromo-9-chloro-7-methoxy-
102160-44-1, Acridine, 1-bromo-7-methoxy-9-phenoxy- 111584-96-4,
Acridine, 1-bromo-9-(p-dimethylaminoanilino)-7-methoxy-, dihydrochloride
132726-79-5, Acridine, 1-bromo-9-(2,2-dimethylhydrazino)-7-methoxy-,
hydrochloride
(prepn. of)
- IT **23551-95-3**, Acridine, 1-bromo-9-[(3-dimethylaminopropyl)amino]-7-
methoxy-, dihydrochloride
(prepn. of)
- RN 23551-95-3 HCAPLUS
- CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-,
dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)



●2 HCl

- L73 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2003 ACS
 AN 1960:62739 HCAPLUS
 DN 54:62739
 OREF 54:12140i,12141a
 TI Tumour-inhibiting compounds. II. The synthesis of some
 3-bromo-7-methoxy-9-aminoacridine derivatives
 AU Ledochowski, Andrzej; Ledochowski, Zygmunt
 CS Politech., Gdansk, Pol.
 SO Roczniki Chem. (1959), 33, 1299-305
 DT Journal
 LA English
 CC 10G (Organic Chemistry: Heterocyclic Compounds)
 AB The following N derivs. of 3-bromo-7-methoxy-9-aminoacridine have been
 prepd. in the way analogous to the synthesis of atabrine by Magidson, et
 al. (C.A. 30, 15163) (N-substituent, m.p. and % yield, resp.): NMe₂.HCl,
 212-13.degree., 65; (CH₂)₂N(Me)₂.2HCl, 252.degree., 71; (CH₂)₃NMe₂.2HCl,
 237.degree., 58; (CH₂)₄NMe₂.2HCl, 233.degree., 65; (CH₂)₅Me₂.2HCl,
 266.degree., 47; p-C₆H₄N(Me₂).2HCl, - (decompd.), 23.
 IT Acridine, 9-amino-6-bromo-2-methoxy-
 (derivs.)
 IT 6329-61-9, Isoquinoline, decahydro-
 (derivs.)
 IT 23551-97-5, Acridine, 6-bromo-9-[(4-dimethylaminobutyl)amino]-2-methoxy-,
 dihydrochloride 95428-49-2, Acridine, 6-bromo-9-[(3-
 dimethylaminopropyl)amino]-2-methoxy-, dihydrochloride 95618-15-8,
 Acridine, 6-bromo-9-[(2-dimethylaminoethyl)amino]-2-methoxy-,
 dihydrochloride 99114-54-2, Acridine, 6-bromo-9-[(5-
 dimethylaminopentyl)amino]-2-methoxy-, dihydrochloride 111584-12-4,
 Acridine, 6-bromo-9-(p-dimethylaminoanilino)-2-methoxy-, dihydrochloride
 131590-09-5, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-2-methoxy-
 132726-80-8, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-2-methoxy-,
 hydrochloride
 (prepn. of)
 IT 93901-88-3, Ketone, decahydro-4a-hydroxy-2-methyl-4-isoquinolyl
 p-methoxyphenyl
 (stereoisomers, and derivs.)
 IT 95428-49-2, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-
 methoxy-, dihydrochloride
 (prepn. of)
 RN 95428-49-2 HCAPLUS
 CN Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-,
 dihydrochloride (6CI, 7CI) (CA INDEX NAME)



● 2 HCl

L73 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1960:62738 HCAPLUS

DN 54:62738

OREF 54:12140g-i

TI Tumour-inhibiting compounds. I. The synthesis of some N,N-dimethyl-
.alpha.,.omega.-diaminoalkanes

AU Ledochowski, Zygmunt; Ledochowski, Andrzej; Chimiak, Andrzej; Dutkiewicz,
Barbara; Bogucka, Maria; Wysocka, Barbara; Sokolowska, Teresa;
Wasielewski, Czeslaw; Stefaniak, Lech

CS Politech., Gdansk, Pol.

SO Roczniki Chem. (1959), 33, 1291-8

DT Journal

LA English

CC 10G (Organic Chemistry: Heterocyclic Compounds)

AB 3-Bromo-7-methoxy-9-aminoacridine N-derivs. were investigated. The side
chains to be attached of the general formula H₂N(CH₂)_mNMe₂ (I) with m = 2,
3, 4, and 5 were prepd. Attempts to prepare I (m = 1) were unsuccessful.
Only its deriv., Cl₃CCONH CH₂NMe₃, 83.5-4.degree., was prepd. I (m = 2)
and I (m = 3) (b. 133-3.5.degree., yield 66%) were obtained by redn. of
the resp. nitriles with Na in EtOH or BuOH, resp. I (m = 5), b.
182.degree., 11.5%, nD₂₀ 1.4500, was obtained by addn. of a satd. aq.
soln. of .epsilon.-dimethylaminocaproamide to NaOBr soln., followed by
heating to 70.degree., extn. with Et₂O, and distn. at low pressure.

IT Neoplasms

(inhibitors of)

IT Acridine, 9-amino-6-bromo-2-methoxy-

Acridine, 9-amino-6-bromo-2-methoxy-
(derivs.)

IT 43192-52-5, Methanediamine, N,N-dimethyl-
(attempted prepn. of)

IT 108-00-9, Ethylenediamine, N,N-dimethyl- 109-55-7, 1,3-Propanediamine,
N,N-dimethyl- 3209-46-9, 1,5-Pentanediamine, N,N-dimethyl- 3529-10-0,
1,4-Butanediamine, N,N-dimethyl- 23551-97-5, Acridine,
6-bromo-9-[(4-dimethylaminobutyl)amino]-2-methoxy-, dihydrochloride
95428-49-2, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-
methoxy-, dihydrochloride 95618-15-8, Acridine, 6-bromo-9-[(2-
dimethylaminoethyl)amino]-2-methoxy-, dihydrochloride 98070-95-2,
Acetamide, 2,2,2-trichloro-N-(dimethylaminomethyl)- 99114-54-2,
Acridine, 6-bromo-9-[(5-dimethylaminopentyl)amino]-2-methoxy-,
dihydrochloride 131590-09-5, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-
2-methoxy- 132726-80-8, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-2-
methoxy-, hydrochloride

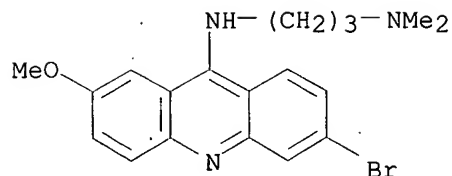
(prepn. of)

IT 95428-49-2, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-
methoxy-, dihydrochloride

(prepn. of)

RN 95428-49-2 HCAPLUS

CN Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-,
dihydrochloride (6CI, 7CI) (CA INDEX NAME)



● 2 HCl

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FILE 'HCAOLD' ENTERED AT 09:22:59 ON 05 MAY 2003

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L75 ANSWER 1 OF 2 HCAOLD COPYRIGHT 2003 ACS

AN CA60:14471g CAOLD

TI tumor-inhibiting compds. - (XVIII) relation between antitumor activity and the chem. structure of some N-substituted acridones and thioacridones (1)

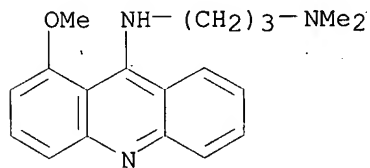
AU Ledochowski, Zygmunt; Wysocka-Skrzela, B.

IT 23552-04-7 23552-11-6 23552-16-1 23552-17-2 96001-53-5
96712-06-0 98075-35-5 100213-31-8 100265-11-0

IT 23552-04-7

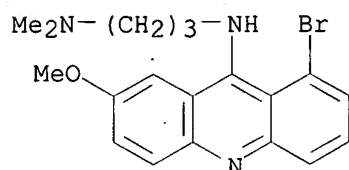
RN 23552-04-7 HCAOLD

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)



● 2 HCl

L75 ANSWER 2 OF 2 HCAOLD COPYRIGHT 2003 ACS
 AN CA56:1428d CAOLD
 TI tumor-inhibiting compds. - (IX) synthesis of (4-dimethylaminobutyl-
 amino)benzacridines and relation between tumor-inhibiting activity and
 structure of some acridine and quinoline derivs. and semiproducts for
 their synthesis
 AU Ledochowski, Zygmunt; Ledochowski, A.; Radzikowski, C.; Wysocka-Skrzela,
 B.; Konopa, J.; Jurkiewicz, Z.
 IT 23551-95-3 23551-98-6 95949-45-4 96370-51-3 96868-08-5
 101034-77-9
 IT 23551-95-3
 RN 23551-95-3 HCAOLD
 CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-,
 dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)



● 2 HCl

=> d his

(FILE 'HOME' ENTERED AT 08:40:40 ON 05 MAY 2003)
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FILE 'REGISTRY' ENTERED AT 08:40:55 ON 05 MAY 2003

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 SAV L3 KWON082/A
 L4 STR L1
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 L6 13 S L4 CSS FUL SUB=L3
 SAV L6 KWON082A/A
 L7 3 S L6 AND C20H25N3
 L8 1794 S 2508.108.26/RID AND L3
 L9 3 S L8 AND L6 NOT L7
 L10 6 S L7,L9
 L11 STR L1
 L12 9 S L11 CSS SAM SUB=L8
 L13 248 S L11 CSS FUL SUB=L8
 SAV L13 KWON082B/A
 L14 STR L11
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 L16 125 S L14 CSS FUL SUB=L13
 SAV L16 KWON082C/A
 L17 119 S L16 NOT L10

FILE 'HCAOLD' ENTERED AT 08:50:03 ON 05 MAY 2003

L18 1 S L10
 L19 17 S L17

SEL AN
EDIT /AN /OREF

FILE 'HCAPLUS' ENTERED AT 08:51:22 ON 05 MAY 2003

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          SEL DN 2 4 6 8 10 13 15 17 19 21 23 25 27 29 33
L21      18 S L20 NOT E18-E32
          SEL DN 11 18
L22      16 S L21 NOT E33-E34
L23      56 S L10
L24      0 S L22 AND L23
L25      97 S L17
L26      143 S L23,L25
          E E VILLAR H/AU
          E VILLAR H/AU
L27      111 S E3,E5,E12,E14
          E LABORDE E/AU
L28      48 S E3-E7
          E LA BORDE E/AU
          E US20020169183/PN
L29      1 S E3
          E US2001-274535/AP, PRN
L30      1 S E5
L31      1 S L26 AND L27-L30
          E TELIK/PA,CS
L32      35 S E3-E9
L33      1 S L26 AND L32
L34      1 S L31,L33
          E FAS/CT
          E E4+ALL
L35      5492 S E7,E6
          E E21+ALL
L36      3287 S E5,E4
          E E15+ALL
L37      49327 S E5,E4
          E E3+ALL
L38      55816 S E3-E7
L39      1 S L26 AND L35-L38
          E FAS/CW
L40      1 S E3 AND L26
          E HYPERPLAS/CT
L41      737 S E4-E22
          E E4+ALL
L42      1166 S E2+NT
          E AUTOIMMUN/CT
          E E47+ALL
L43      1631 S E2
          E AUTOIMMUN/CT
          E E8+ALL
L44      24179 S E3,E2+NT
L45      1 S L26 AND L41-L44
L46      1 S L34,L39,L40,L45
L47      2 S L26 AND ?HYPERPLAS?
L48      1 S L26 AND ?AUTOIMMUN?
L49      0 S L26 AND ?AUTO IMMUN?
L50      3 S L26 AND ?IMMUN?
L51      1 S L26 AND FAS
L52      0 S L26 AND CD95
L53      1 S L26 AND ?APOPTO?
L54      4 S L46-L48,L50,L51,L53
L55      67 S L26 AND (?NEOPLAS? OR ?TUMOR? OR ?TUMOUR? OR ?MALIGNAN? OR ?C
          E AUTOIMMUNE LYMPHOPROLIFERAT/CT
          E LYMPHOPROLIFERAT/CT

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L56      16195 S E6+ALL
           S E5+NT
           E AUTOIMMUNE THYROID/CT
           E E4+ALL
L57      1153 S E2
           E HYPEREOSINOPHIL/CT
           E E4+ALL
           E E2+ALL
L58      783 S E3+NT
           E THYROID DISEASE/CT
           E E4+ALL
           E E2+ALL
L59      18741 S E4,E5,E3+NT
L60      27099 S E33+NT
L61      25405 S AUTOIMMUN?(L) (LYMPH? OR THYROID?) OR ?EOSINOPHIL?
L62      0 S L26 AND L56-L61
L63      3 S L54 AND L55
L64      4 S L54,L63

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FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003

FILE 'HCAPLUS' ENTERED AT 09:14:17 ON 05 MAY 2003

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L65      1 S CHOTKOWSKA ?/AU AND 1972/PY AND (20 AND 289)/SO
L66      1 S PIESTRZENIEWICZ ?/AU AND 1998/PY AND (53 AND 359)/SO
L67      1 S RADZIKOWSKI ?/AU AND 1969/PY AND (17 AND 86)/SO
L68      1 S RADZIKOWSKI ?/AU AND 1967/PY AND (2 AND 263)/SO
L69      1 S WYSOCKA SKRZELA ?/AU AND 1981/PY AND (55 AND 1735)/SO
L70      1 S WO20000076982/PN
L71      6 S L65-L70 AND L20-L64
L72      14 S L22 AND L26
L73      16 S L22,L72
L74      2 S L22 NOT L72

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FILE 'HCAOLD' ENTERED AT 09:22:59 ON 05 MAY 2003

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           SEL AN 6 13 L19
L75      2 S L19 AND E1-E2

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=> d his

(FILE 'HOME' ENTERED AT 08:40:40 ON 05 MAY 2003)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 08:40:55 ON 05 MAY 2003

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L3      2001 S L1 FUL
           SAV L3 KWON082/A
L4      STR L1
L5      1 S L4 CSS SAM SUB=L3
L6      13 S L4 CSS FUL SUB=L3
           SAV L6 KWON082A/A
L7      3 S L6 AND C20H25N3
L8      1794 S 2508.108.26/RID AND L3
L9      3 S L8 AND L6 NOT L7
L10     6 S L7,L9
L11     STR L1
L12     9 S L11 CSS SAM SUB=L8
L13     248 S L11 CSS FUL SUB=L8
           SAV L13 KWON082B/A
L14     STR L11
L15     8 S L14 CSS SAM SUB=L13
L16     125 S L14 CSS FUL SUB=L13
           SAV L16 KWON082C/A

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L17 119 S L16 NOT L10

FILE 'HCAOLD' ENTERED AT 08:50:03 ON 05 MAY 2003

L18 1 S L10

L19 17 S L17

SEL AN

EDIT /AN /OREF

FILE 'HCAPLUS' ENTERED AT 08:51:22 ON 05 MAY 2003

L20 33 S E1-E17

SEL DN 2 4 6 8 10 13 15 17 19 21 23 25 27 29 33

L21 18 S L20 NOT E18-E32

SEL DN 11 18

L22 16 S L21 NOT E33-E34

L23 56 S L10

L24 0 S L22 AND L23

L25 97 S L17

L26 143 S L23,L25

E E VILLAR H/AU

E VILLAR H/AU

L27 111 S E3,E5,E12,E14

E LABORDE E/AU

L28 48 S E3-E7

E LA BORDE E/AU

E US20020169183/PN

L29 1 S E3

E US2001-274535/AP, PRN

L30 1 S E5

L31 1 S L26 AND L27-L30

E TELIK/PA,CS

L32 35 S E3-E9

L33 1 S L26 AND L32

L34 1 S L31,L33

E FAS/CT

E E4+ALL

L35 5492 S E7,E6

E E21+ALL

L36 3287 S E5,E4

E E15+ALL

L37 49327 S E5,E4

E E3+ALL

L38 55816 S E3-E7

L39 1 S L26 AND L35-L38

E FAS/CW

L40 1 S E3 AND L26

E HYPERPLAS/CT

L41 737 S E4-E22

E E4+ALL

L42 1166 S E2+NT

E AUTOIMMUN/CT

E E47+ALL

L43 1631 S E2

E AUTOIMMUN/CT

E E8+ALL

L44 24179 S E3,E2+NT

L45 1 S L26 AND L41-L44

L46 1 S L34,L39,L40,L45

L47 2 S L26 AND ?HYPERPLAS?

L48 1 S L26 AND ?AUTOIMMUN?

L49 0 S L26 AND ?AUTO IMMUN?

L50 3 S L26 AND ?IMMUN?

L51 1 S L26 AND FAS

L52 0 S L26 AND CD95

L53 1 S L26 AND ?APOPTO?
 L54 4 S L46-L48, L50, L51, L53
 L55 67 S L26 AND (?NEOPLAS? OR ?TUMOR? OR ?TUMOUR? OR ?MALIGNAN? OR ?C
 E AUTOIMMUNE LYMPHOPROLIFERAT/CT
 E LYMPHOPROLIFERAT/CT
 E E6+ALL
 L56 16195 S E5+NT
 E AUTOIMMUNE THYROID/CT
 E E4+ALL
 L57 1153 S E2
 E HYPEREOSINOPHIL/CT
 E E4+ALL
 E E2+ALL
 L58 783 S E3+NT
 E THYROID DISEASE/CT
 E E4+ALL
 E E2+ALL
 L59 18741 S E4, E5, E3+NT
 L60 27099 S E33+NT
 L61 25405 S AUTOIMMUN?(L) (LYMPH? OR THYROID?) OR ?EOSINOPHIL?
 L62 0 S L26 AND L56-L61
 L63 3 S L54 AND L55
 L64 4 S L54, L63

FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003

FILE 'HCAPLUS' ENTERED AT 09:14:17 ON 05 MAY 2003

L65 1 S CHOTKOWSKA ?/AU AND 1972/PY AND (20 AND 289)/SO
 L66 1 S PIESTRZENIEWICZ ?/AU AND 1998/PY AND (53 AND 359)/SO
 L67 1 S RADZIKOWSKI ?/AU AND 1969/PY AND (17 AND 86)/SO
 L68 1 S RADZIKOWSKI ?/AU AND 1967/PY AND (2 AND 263)/SO
 L69 1 S WYSOCKA SKRZELA ?/AU AND 1981/PY AND (55 AND 1735)/SO
 L70 1 S WO20000076982/PN
 L71 6 S L65-L70 AND L20-L64
 L72 14 S L22 AND L26
 L73 16 S L22, L72
 L74 2 S L22 NOT L72

FILE 'HCAOLD' ENTERED AT 09:22:59 ON 05 MAY 2003

SEL AN 6 13 L19

L75 2 S L19 AND E1-E2

FILE 'MEDLINE' ENTERED AT 09:24:18 ON 05 MAY 2003

L76 5 S L10
 L77 0 S L17
 L78 3155 S L8
 E ACRIDINE/CT
 E E23+ALL
 L79 11577 S E4+NT
 L80 11840 S L76, L78, L79
 E AUTOIMMUN/CT
 L81 50 S E17+NT AND L80
 L82 0 S E117+NT AND L80
 E HYPERPLASIA/CT
 L83 3 S E3+NT AND L80
 E E3+ALL
 L84 27 S E7+NT AND L80
 E APOPTOSIS/CT
 L85 130 S E3+NT AND L80
 E FAS/CT
 E E4+ALL
 L86 4 S E2+NT AND L80
 E AUTOIMMUNE THYROID/CT

L87 E E5+ALL
 0 S E2+NT AND L80
 E HYPEREOSINOPHIL/CT
 L88 0 S E5+NT AND L80
 E AUTOIMMUNE LYMPH/CT
 E LYMPHOPROLIFERAT/CT
 L89 480 S E8+NT AND L80
 L90 0 S L76 AND L81-L89
 L91 103 S L78 AND L81-L89
 L92 54 S L91 NOT AB/FA
 L93 49 S L91 NOT L92

FILE 'REGISTRY' ENTERED AT 09:44:03 ON 05 MAY 2003

L94 1 S QUINACRINE/CN